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L1      STRUCTURE UPLOADED

=> d his

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     FILE 'REGISTRY' ENTERED AT 13:38:03 ON 02 JAN 2008
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L4         21440 S L2 AND L3
L5            11 S L1 SAM SUB=L4
L6           172 S L1 SSS FULL SUB=L4

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L7            31 S L6
L8             1 S US200!-590674/APPS
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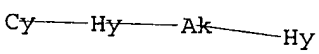

Structure attributes must be viewed using STN Express query preparation.

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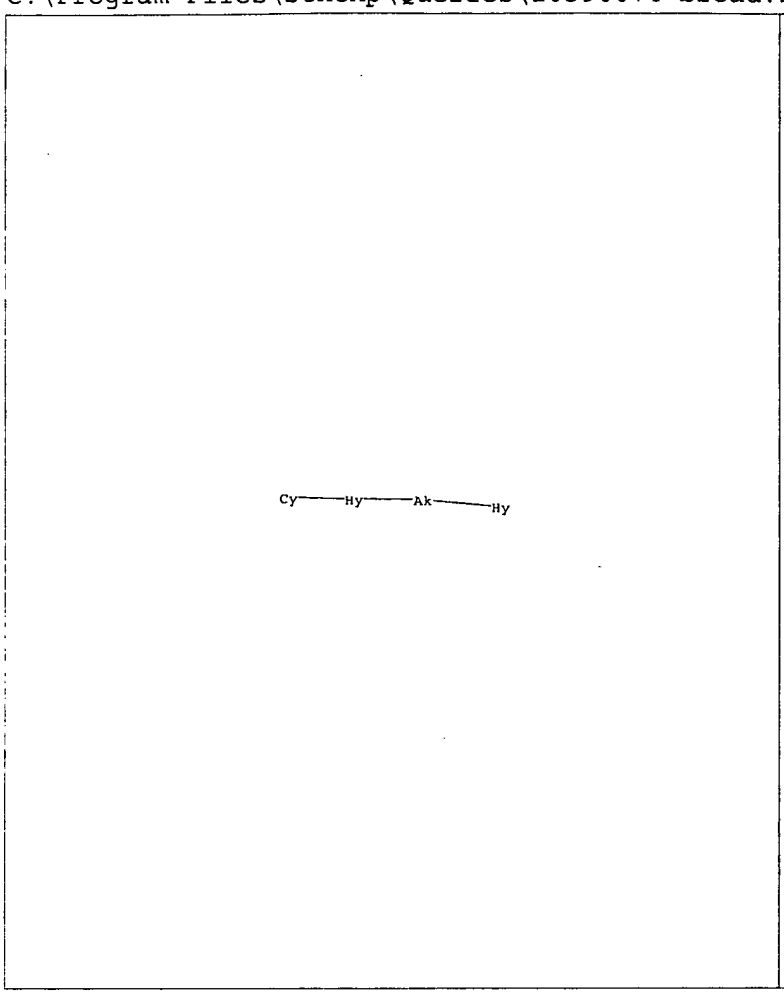

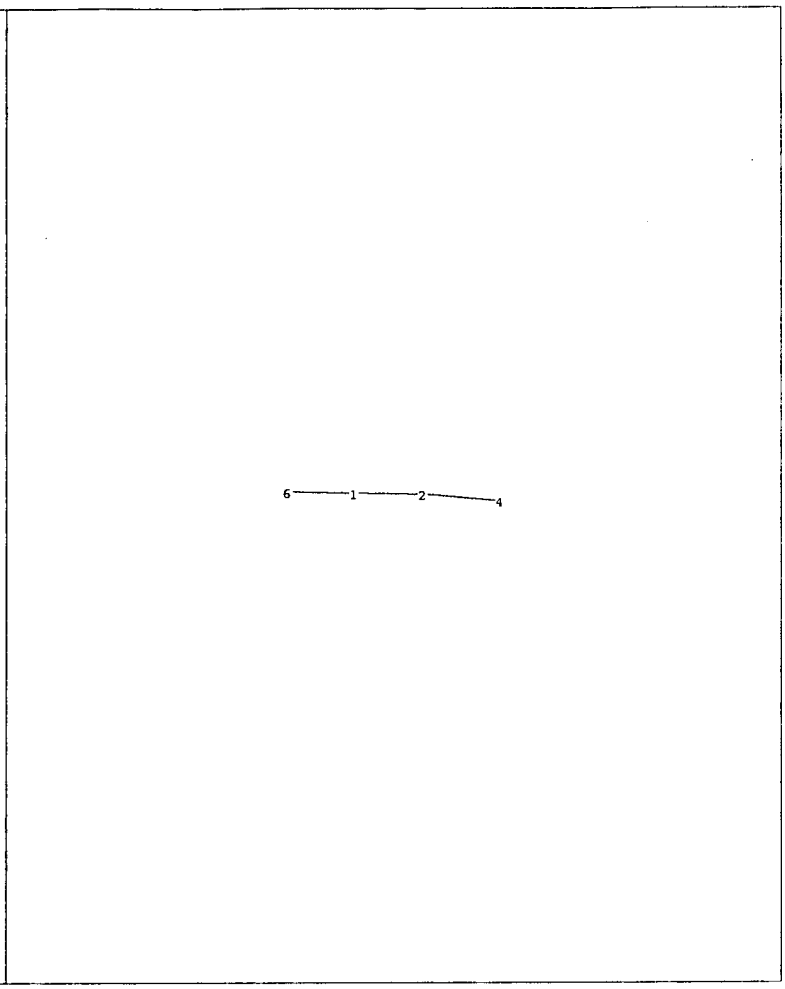

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    1 2 4 6
chain bonds :
    1-2 1-6 2-4
exact/norm bonds :
    1-2 1-6 2-4

Match level :
    1:Atom 2:CLASS 4:Atom 6:Atom
Generic attributes :
    1:
    Saturation   : Unsaturated
    Number of Hetero Atoms : Exactly 1
    Type of Ring System   : Polycyclic
    4:
    Saturation   : Saturated
    Number of Carbon Atoms : less than 7
    Number of Hetero Atoms : Exactly 1
    Type of Ring System   : Monocyclic
    6:
    Saturation   : Unsaturated

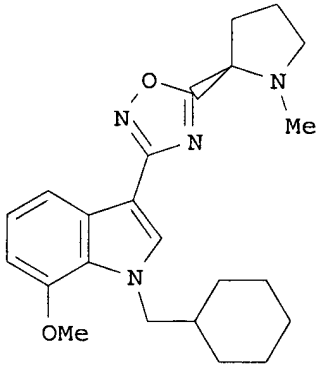
Element Count :
    Node 1: Limited
        N,N1
        C,C8

Node 4: Limited
    C,C5
    O,O1

L8 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:1042063 CAPLUS
DN 143:347179
TI Preparation of (indol-3-yl)-heterocycle derivatives as agonists of the cannabinoid CB1 receptor
IN Adam-Worrall, Julia; Morrison, Angus John; Wishart, Grant; Kiyoi, Takao; McArthur, Duncan Robert
PA Akzo Nobel N. V., Neth.
SO PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005089754	A1	20050929	WO 2005-EP50833	20050228
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2005224041	A1	20050929	AU 2005-224041	20050228
	CA 2557054	A1	20050929	CA 2005-2557054	20050228
	EP 1725232	A1	20061129	EP 2005-716823	20050228
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, LV				
	CN 1929836	A	20070314	CN 2005-80007120	20050228
	BR 2005008404	A	20070717	BR 2005-8404	20050228
	JP 2007526281	T	20070913	JP 2007-501270	20050228
	US 2007142446	A1	20070621	US 2006-590674	20060826 <--
	MX 2006PA09861	A	20061116	MX 2006-PA9861	20060830
	IN 2006CN03225	A	20070706	IN 2006-CN3225	20060905
	NO 2006004063	A	20060925	NO 2006-4063	20060908
	KR 2007012389	A	20070125	KR 2006-720294	20060929
PRAI	EP 2004-100902	A	20040305		
	US 2004-550563P	P	20040305		
	EP 2004-103901	A	20040812		
	WO 2005-EP50833	W	20050228		

OS MARPAT 143:347179
GI



I

AB The invention relates to preparation of (indol-3-yl)-heterocycle derivs. as agonists of the cannabinoid CB1 receptor, which can be used in the treatment of pain. E.g., I-HCl was prepared from 1-cyclohexylmethyl-N-hydroxy-7-methoxy-1H-indole-3-carboxamidine and Me (R)-1-methylpyrrolidine-2-carboxylate. I-HCl and a number of other prepared compds. showed good efficacy and potency in an in vitro test at the human CB1 receptor expressed in CHO cells.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 1 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:763453 CAPLUS Full-text
DN 147:166335
TI Preparation of pyrazolo[3,4-d]pyrimidine derivatives as protein kinase inhibitors
IN Sheppard, George; Wang, Gary; Palazzo, Fabio; Bell, Randy; Mantei, Robert; Wang, Jieyi; Hubbard, Robert; Kawai, Megumi; Erickson, Scott; Bamaung, Nwe; Fidanze, Steve
PA Abbott Laboratories, USA
SO PCT Int. Appl., 209pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007079164	A2	20070712	WO 2006-US49461	20061228
	WO 2007079164	A3	20070927		
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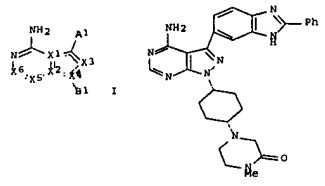
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
	US 2007203143	A1	20070830	US 2006-617398	20061228
PRAI	US 2005-754685P	P	20051229		

OS MARPAT 147:166335
GI



I

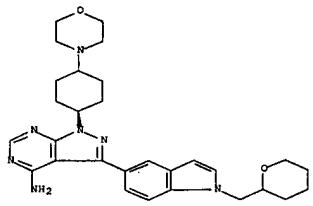
II

AB Title compds. represented by the formula I [wherein one of X1 or X2 is C and the other is C or N; X3 = C(H), C(alkyl) or N; X4 = N or C; X5 = C(H) or N; X6 = C(H) or N; A1 = (un)fused Ph or heteroaryl; B1 = (un)fused Ph, heteroaryl, cycloalkyl, etc.; and their salts thereof] were prepared as protein kinase inhibitors. For example, II was provided in a multi-step synthesis starting from condensation of 4-bromobenzene-1,2-diamine with benzaldehyde. I were tested for KDR inhibition by using SF-9 cells. Thus, I and their pharmaceutical compns. are useful as protein kinase inhibitors for the treatment of cancers.

IT 943972-11-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolo[3,4-d]pyrimidin-4-amines as protein kinase inhibitors for treatment of cancers)

RN 943972-11-0 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-[trans-4-(4-morpholinyl)cyclohexyl]-3-[1-[(tetrahydro-2H-pyran-2-yl)methyl]-1H-indol-5-yl]- (CA INDEX NAME)

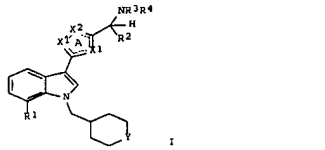
Relative stereochemistry.



L9 ANSWER 2 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:408544 CAPLUS Full-text
DN 146:421987
TI Preparation of 3-azolylindole derivatives as cannabinoid receptor agonists for treatment of pains
IN Ratcliffe, Paul David; Adam-Worrall, Julia; Morrison, Angus John; Francis, Stuart John; Kiyoi, Takao
PA Akzo Noble N.V., Neth.
SO U.S. Pat. Appl. Publ., 25pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2007082931	A1	20070412	US 2006-506579	20060818
PRAI	US 2005-710805P	P	20050824		

OS MARPAT 146:421987
GI



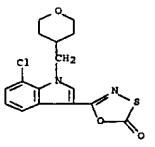
I

AB The title compds. [I; A = a 5-membered aromatic heterocyclic ring; X1, X2, X3 = independently N, O, S, (un)substituted CH; Y = CH2, O, S, SO2; R1 = C1-4 alkyl, C1-4 alkoxy, cyano, halo; R2 = H, C1-4 alkyl; or R2 together with R3 and the carbon and nitrogen atoms to which they are bonded form a 4-7 membered ring; R3 = H, each (un)substituted C1-6 alkyl or C3-7 cycloalkyl; R4 = CONR5R6, CO2R7, SO2R8, SO2NR9R10, COR11, C1-3 alkyl substituted with CONR5R6, CO2R7, SO2R8, SO2NR9R10, NHCOR11, NHSO2R12, or two OH groups; or R4 together with R3 and the N to which they are bonded form a 4-8 membered ring optionally containing a further heteroatom selected from O, S and SO2; R5, R6, R9, R10, R11 = H, (un)substituted C1-4 alkyl; or R6 together with R5 and the N to which they are bonded form an (un)substituted 4-8 membered ring optionally containing a further heteroatom selected from O, S and SO2; R12 = (un)substituted C1-4 alkyl; with the proviso that when Y = SO2, R4 = H, each (un)substituted C1-6 alkyl or C3-7 cycloalkyl; or R3 together with R4 and the N to which they are bonded may form an (un)substituted 4-8 membered ring optionally containing a further heteroatom selected from O, S and SO2] or pharmaceutically acceptable salts thereof are prepared These compds. are useful for the treatment of pains including peri-operative pain, chronic pain, neuropathic pain, cancer pain, and pain, and spasticity associated with multiple sclerosis. Thus, to a solution of methanesulfonic acid [3-[1-[(tetrahydropyran-4-yl)methyl]-7-ethyl-1H-indol- 3-yl]-1,2,4-thiadiazol-5-yl]methyl ester in 1-methyl-2-pyrrolidone were added K2CO3 and 4-[[(2-hydroxy)ethyl]carbamoyl]piperidine and the resulting mixture was stirred at room temperature for 18 h to give 7-ethyl-3-[5-[[4-[N-(2-hydroxyethyl)carbamoyl]piperidin-1-yl]methyl]-1,2,4- thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole (II). II showed pEC50 of 7.9 in an assay for increasing agonist-induced expression of luciferase enzyme in Chinese hamster ovary (CHO) cells expressing human CB1 receptor and a luciferase reporter gene.

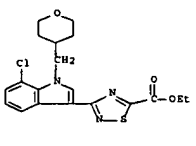
IT 928149-20-6P, 7-Chloro-3-(2-oxo-1,3,4-oxathiazol-5-yl)-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928149-21-7P, 7-Chloro-3-[5-(ethoxycarbonyl)-1,2,4-thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928149-23-9P, 7-Chloro-3-[5-(hydroxymethyl)-1,2,4-thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928149-24-0P, Methanesulfonic acid [3-[1-[(tetrahydropyran-4-yl)methyl]-7-chloro-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl ester 928149-33-1P, Methanesulfonic acid [3-[1-[(tetrahydropyran-4-yl)methyl]-7-methoxyindol-3-yl]-1,2,4-thiadiazol-5-yl]methyl ester 928149-38-6P, 7-Chloro-3-[5-[[N-(2-methoxyethyl)amino]methyl]-1,2,4-thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928149-43-3P, Methanesulfonic acid [3-[1-[(tetrahydropyran-4-yl)methyl]-7-ethyl-1H-indol-3-yl]-1,2,4-

thiadiazol-5-yl]methyl ester 928149-77-3P, 7-Chloro-3-[5-[[[(ethoxycarbonyl)methyl]amino]methyl]-1,2,4-thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928149-79-5P, 7-Chloro-3-[5-[N-[(ethoxycarbonyl)methyl]-N-(methylsulfonyl)amino]methyl]-1,2,4-thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928149-87-5P, 7-Chloro-3-[4-(chloromethyl)thiazol-2-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928149-95-5P, (S)-7-Chloro-3-[5-[1-(tert-butoxycarbonyl)pyrrolidin-2-yl]-1,3,4-oxadiazol-2-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928150-02-1P, 7-Chloro-3-[5-(chloromethyl)-1,2,4-oxadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928150-06-5P, 7-Chloro-3-[5-[[N-[(methoxycarbonyl)methyl]-N-methylamino]methyl]-1,2,4-oxadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928150-07-6P, 7-Chloro-3-[5-[[N-(carboxymethyl)-N-methylamino]methyl]-1,2,4-oxadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928150-10-1P, 7-Chloro-3-[5-[(N-methylamino)methyl]-1,2,4-oxadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 934185-99-6P, 7-Chloro-3-[5-(aminomethyl)-1,2,4-oxadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole hydrochloride
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of 3-azolylindole derivs. as cannabinoid receptor agonists for treatment of pains)

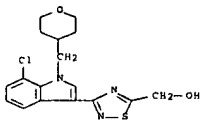
RN 928149-20-6 CAPLUS
CN 1,3,4-Oxathiazol-2-one, [7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]- (CA INDEX NAME)



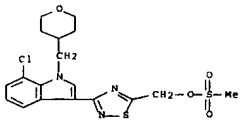
RN 928149-21-7 CAPLUS
CN 1,2,4-Thiadiazole-5-carboxylic acid, 3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-, ethyl ester (CA INDEX NAME)



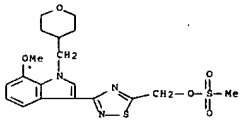
RN 928149-23-9 CAPLUS
CN 1,2,4-Thiadiazole-5-methanol, 3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]- (CA INDEX NAME)



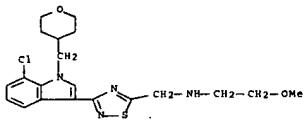
RN 928149-24-0 CAPLUS
CN 1,2,4-Thiadiazole-5-methanol, 3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl] , 5-methanesulfonate (CA INDEX NAME)



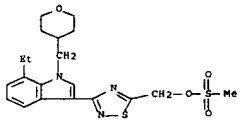
RN 928149-33-1 CAPLUS
CN 1,2,4-Thiadiazole-5-methanol, 3-[7-methoxy-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-, 5-methanesulfonate (CA INDEX NAME)



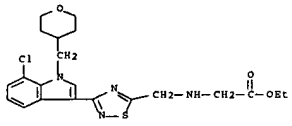
RN 928149-38-6 CAPLUS
CN 1,2,4-Thiadiazole-5-methanamine, 3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-N-(2-methoxyethyl)- (CA INDEX NAME)



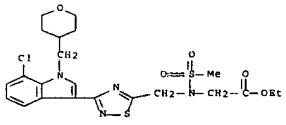
RN 928149-43-3 CAPLUS
CN 1,2,4-Thiadiazole-5-methanol, 3-[7-ethyl-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-, 5-methanesulfonate (CA INDEX NAME)



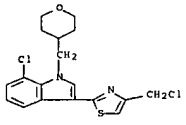
RN 928149-77-3 CAPLUS
CN Glycine, N-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]-, ethyl ester (CA INDEX NAME)



RN 928149-79-5 CAPLUS
CN Glycine, N-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]-N-(methylsulfonyl)-, ethyl ester (CA INDEX NAME)

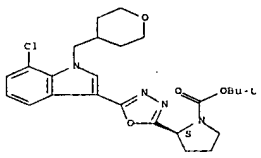


RN 928149-87-5 CAPLUS
CN 1H-Indole, 7-chloro-3-[4-(chloromethyl)-2-thiazolyl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]- (CA INDEX NAME)

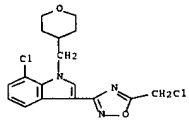


RN 928149-95-5 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[5-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,3,4-oxadiazol-2-yl]-, 1,1-dimethylethyl ester, (2S)- (CA INDEX NAME)

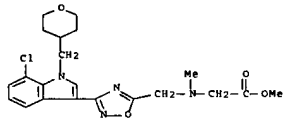
Absolute stereochemistry.



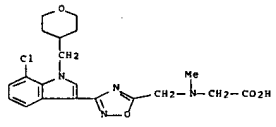
RN 928150-02-1 CAPLUS
CN 1H-Indole, 7-chloro-3-[5-(chloromethyl)-1,2,4-oxadiazol-3-yl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]- (CA INDEX NAME)



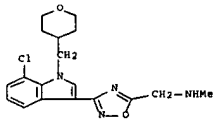
RN 928150-06-5 CAPLUS
CN Glycine, N-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-oxadiazol-5-yl]methyl]-N-methyl-, methyl ester (CA INDEX NAME)



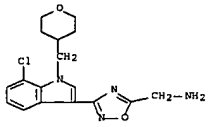
RN 928150-07-6 CAPLUS
CN Glycine, N-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-oxadiazol-5-yl]methyl]-N-methyl- (CA INDEX NAME)



RN 928150-10-1 CAPLUS
CN 1,2,4-Oxadiazole-5-methanamine, 3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-N-methyl- (CA INDEX NAME)

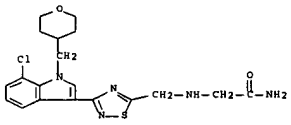


RN 934185-99-6 CAPLUS
CN 1,2,4-Oxadiazole-5-methanamine, 3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

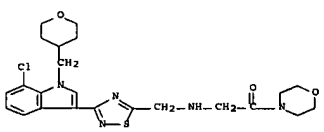
IT 928149-40-0P, 7-Chloro-3-[5-[[N-(carbamoylmethyl)amino]methyl]-1,2,4-thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 3-azolylindole derivs. as cannabinoid receptor agonists for treatment of pains)
RN 928149-40-0 CAPLUS
CN Acetamide, 2-[[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]amino]- (CA INDEX NAME)



IT 928149-15-9P, 7-Chloro-3-[5-[[N-[(morpholin-4-ylcarbonyl)methyl]amino]methyl]-1,2,4-thiadiazol-3-yl]-1-[(tetrahydropyran-

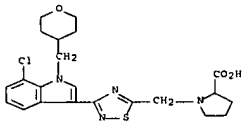
4-yl)methyl]-1H-indole monohydrochloride 928149-25-1P, 7-Chloro-3-[5-[(2-carboxypyrrolidin-1-yl)methyl]-1,2,4-thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole hydrochloride 928149-26-2P 928149-32-0P, 7-Methoxy-3-[5-[[N-(carbamoylmethyl)-N-methylamino]methyl]-1,2,4-thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole mono(trifluoroacetate) 928149-34-2P, 7-Chloro-3-[5-[[N-[2-[(methylsulfonyl)amino]ethyl]amino]methyl]-1,2,4-thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole monohydrochloride 928149-37-5P, 7-Chloro-3-[5-[[N-(2-methoxyethyl)-N-(methylsulfonyl)amino]methyl]-1,2,4-thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928149-39-7P, 7-Chloro-3-[5-[[N-(carbamoylmethyl)-N-(2-methoxyethylsulfonyl)amino]methyl]-1,2,4-thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928149-44-4P, 7-Ethyl-3-[5-[[3-(methylsulfonyl)pyrrolidin-1-yl]methyl]-1,2,4-thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole hydrochloride 928149-45-5P, 7-Ethyl-3-[5-[[4-[N-(2-hydroxyethyl)carbamoyl]piperidin-1-yl]methyl]-1,2,4-thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928149-46-6P, 7-Ethyl-3-[5-[[2-(hydroxymethyl)morpholin-4-yl]methyl]-1,2,4-thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928149-48-8P, (S)-7-Ethyl-3-[5-[[N-(2-hydroxy-1-(methoxycarbonyl)ethyl]-N-methylamino]methyl]-1,2,4-thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928149-53-5P, 7-Ethyl-3-[5-[[N-(2,3-dihydroxypropyl)-N-methylamino]methyl]-1,2,4-thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928149-75-1P, 7-Chloro-3-[5-[[N-(2-hydroxyethyl)-N-(methylsulfonyl)amino]methyl]-1,2,4-thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928149-86-4P, 7-Chloro-1-[(tetrahydropyran-4-yl)methyl]-3-[4-[[N-(carbamoylmethyl)-N-methylamino]methyl]-1,3-thiazol-2-yl]-1H-indole 928149-92-2P, (S)-7-Chloro-3-[5-[1-(methylsulfonyl)pyrrolidin-2-yl]-1,3,4-oxadiazol-2-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928149-97-7P, (S)-7-Chloro-3-[5-[1-(cyclopropylsulfonyl)pyrrolidin-2-yl]-1,3,4-oxadiazol-2-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928149-98-8P, (R)-7-Chloro-3-[5-[1-(N,N-dimethylsulfamoyl)pyrrolidin-2-yl]-1,3,4-oxadiazol-2-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928149-99-9P, 7-Chloro-3-[5-[[4-[N-(2-hydroxyethyl)carbamoyl]piperidin-1-yl]methyl]-1,2,4-oxadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole monohydrochloride 928150-04-3P, 7-Chloro-3-[5-[[N-[[N-(carbamoylmethyl)carbamoyl]methyl]-N-methylamino]methyl]-1,2,4-oxadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928150-05-4P, 7-Chloro-3-[5-[[N-[(N-(2-hydroxyethyl)carbamoyl)methyl]-N-methylamino]methyl]-1,2,4-oxadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928150-08-7P, (S)-7-Chloro-3-[5-[[N-(1-carbamoyl-2-hydroxyethyl)-N-methylamino]methyl]-1,2,4-oxadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole monohydrochloride 928150-09-8P, 7-Chloro-3-[5-[[N-(cyclopropylsulfonyl)-N-methylamino]methyl]-1,2,4-oxadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928150-11-2P, 7-Chloro-3-[5-[[N-(N,N-dimethylsulfamoyl)-N-methylamino]methyl]-1,2,4-oxadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928150-14-5P, 7-Chloro-3-[5-[[(2-methoxyacetyl)amino]methyl]-1,2,4-oxadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928150-15-6P, 7-Chloro-3-[5-[[N-(N,N-dimethylsulfamoyl)-N-(2-hydroxyethyl)amino]methyl]-1,2,4-oxadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928150-17-8P, 7-Chloro-3-[5-[[4-[N-(2-hydroxyethyl)carbamoyl]piperidin-1-yl]methyl]-1,2,4-oxadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928150-18-9P, 7-Chloro-3-[5-[[N-(carbamoylmethyl)-N-methylamino]methyl]-1,2,4-oxadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928195-97-5P,

7-Chloro-3-[5-[[N-[[(morpholin-4-yl)carbonyl]methyl]amino]methyl]-1,2,4-thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928195-99-7P 928196-00-3P 934185-81-6P, 7-Chloro-3-[5-[(2-carboxypyrrolidin-1-yl)methyl]-1,2,4-thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole mono(trifluoroacetate) 934185-92-7P, (R)-7-Chloro-3-[5-[[2-(hydroxymethyl)pyrrolidin-1-yl]methyl]-1,2,4-thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole hydrochloride 934185-83-0P, 7-Chloro-3-[5-[[N-(carbamoylmethyl)amino]methyl]-1,2,4-thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole hydrochloride 934185-84-9P, 7-Chloro-3-[5-[[[2-[N-(2-methoxyethylsulfonyl)amino]ethyl]amino]methyl]-1,2,4-thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole hydrochloride 934185 97-2P, 7-Chloro-3-[5-[[[2-[N-(2-methoxyethylsulfonyl)amino]ethyl]amino]methyl]-1,2,4-thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole trifluoroacetate 934185-88-3P, 7-Ethyl-3-[5-[[N-(carbamoylmethyl)-N-methylamino]methyl]-1,2,4-thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole hydrochloride 934185-89-4P, 7-Ethyl-3-[5-[[4-(N-methylcarbamoyl)piperidin-1-yl]methyl]-1,2,4-thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 934185-95-2P, 7-Ethyl-3-[5-[[4-[[(methylsulfonyl)amino]methyl]piperidin-1-yl]methyl]-1,2,4-thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole hydrochloride 934185-96-3P, (S)-7-Chloro-3-[5-[1-(N-ethylcarbamoyl)pyrrolidin-2-yl]-1,3,4-oxadiazol-2-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 934185-97-4P, 7-Chloro-3-[5-[[N-(carbamoylmethyl)-N-methylamino]methyl]-1,2,4-oxadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole hydrochloride 934185-98-5P, 7-Chloro-3-[5-[[(N-ethylcarbamoyl)amino]methyl]-1,2,4-oxadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 934232-10-7P, (S)-7-Chloro-3-[5-[[2-[N-(carbamoylmethyl)carbamoyl]pyrrolidin-1-yl]methyl]-1,2,4-thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole hydrochloride 934232-11-8P, (R)-7-Chloro-3-[5-[[3-(acetylamino)pyrrolidin-1-yl]methyl]-1,2,4-thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-azolylindole derivs. as cannabinoid receptor agonists for treatment of pains)
RN 928149-15-9 CAPLUS
CN Ethanone, 2-[[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]amino]-1-(4-morpholinyl)-, hydrochloride (1:1) (CA INDEX NAME)



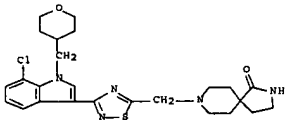
● HCl

RN 928149-25-1 CAPLUS
CN Proline, 1-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 928149-26-2 CAPLUS
CN 2,8-Diazaspiro[4.5]decan-1-one, 8-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

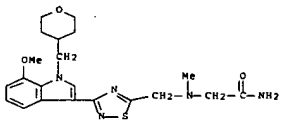


● HCl

RN 928149-32-0 CAPLUS
CN Acetamide, 2-[[[3-(7-methoxy-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]methylamino]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

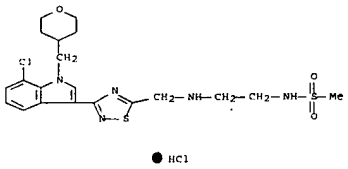
CRN 928149-31-9
CMF C21 H27 N5 O3 S



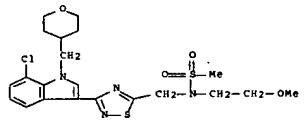
CM 2

CRN 76-05-1
CMF C2 H F3 O2

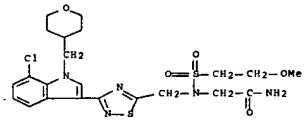
RN 928149-34-2 CAPLUS
CN Methanesulfonamide, N-[2-[[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]amino]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



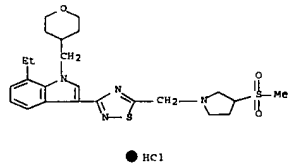
RN 928149-37-5 CAPLUS
CN Methanesulfonamide, N-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]-N-(2-methoxyethyl)- (CA INDEX NAME)



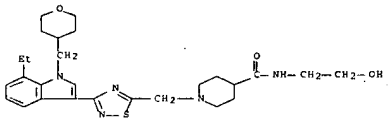
RN 928149-39-7 CAPLUS
CN Acetamide, 2-[[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl][(2-methoxyethyl)sulfonyl]amino]- (CA INDEX NAME)



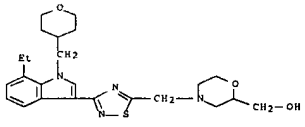
RN 928149-44-4 CAPLUS
CN 1H-Indole, 7-ethyl-3-[5-[[3-(methylsulfonyl)-1-pyrrolidinyl]methyl]-1,2,4-thiadiazol-3-yl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]-, hydrochloride (1:1) (CA INDEX NAME)



RN 928149-45-5 CAPLUS
CN 4-Piperidinecarboxamide, 1-[[3-[7-ethyl-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]-N-(2-hydroxyethyl)- (CA INDEX NAME)

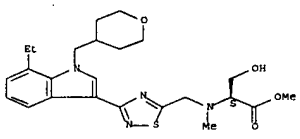


RN 928149-46-6 CAPLUS
CN 2-Morpholinemethanol, 4-[[3-[7-ethyl-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]- (CA INDEX NAME)

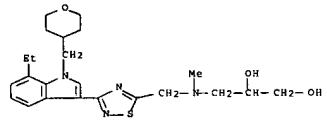


RN 928149-49-9 CAPLUS
CN L-Serine, N-[[3-[7-ethyl-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]-N-methyl-, methyl ester (CA INDEX NAME)

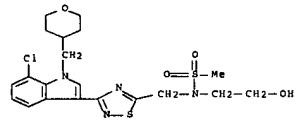
Absolute stereochemistry.



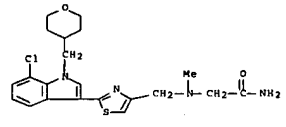
RN 928149-53-5 CAPLUS
CN 1,2-Propanediol, 3-[[[3-[7-ethyl-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]methylamino]- (CA INDEX NAME)



RN 928149-75-1 CAPLUS
CN Methanesulfonamide, N-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]-N-(2-hydroxyethyl)- (CA INDEX NAME)

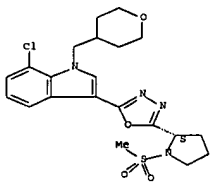


RN 928149-86-4 CAPLUS
CN Acetamide, 2-[[[2-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-4-thiazolyl]methyl]methylamino]- (CA INDEX NAME)



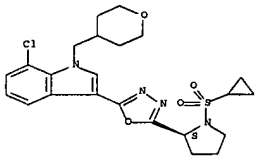
RN 928149-92-2 CAPLUS
CN 1H-Indole, 7-chloro-3-[5-[(2S)-1-(methylsulfonyl)-2-pyrrolidinyl]-1,3,4-oxadiazol-2-yl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]- (CA INDEX NAME)

Absolute stereochemistry.



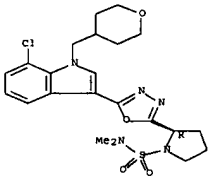
RN 928149-97-7 CAPLUS
CN 1H-Indole, 7-chloro-3-[5-[(2S)-1-(cyclopropylsulfonyl)-2-pyrrolidinyl]-1,3,4-oxadiazol-2-yl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

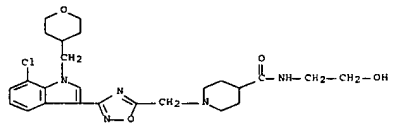


RN 928149-98-8 CAPLUS
CN 1-Pyrrolidinesulfonamide, 2-[5-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,3,4-oxadiazol-2-yl]-N,N-dimethyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

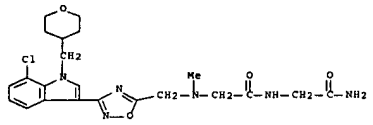


RN 928149-99-9 CAPLUS
CN 4-Piperidinecarboxamide, 1-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-oxadiazol-5-yl]methyl]-N-(2-hydroxyethyl)-, hydrochloride (1:1) (CA INDEX NAME)

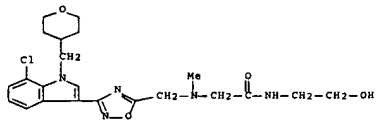


● HCl

RN 928150-04-3 CAPLUS
CN Glycinamide, N-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-oxadiazol-5-yl]methyl]-N-methylglycyl- (CA INDEX NAME)

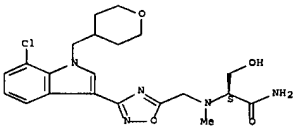


RN 928150-05-4 CAPLUS
CN Acetamide, 2-[[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-oxadiazol-5-yl]methyl]methylamino]-N-(2-hydroxyethyl)- (CA INDEX NAME)



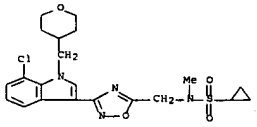
RN 928150-08-7 CAPLUS
CN Propanamide, 2-[[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-oxadiazol-5-yl]methyl]methylamino]-3-hydroxy-, hydrochloride (1:1), (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

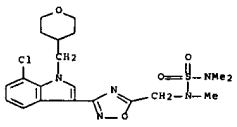


● HCl

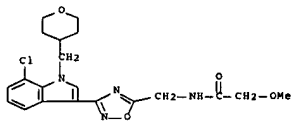
RN 928150-09-8 CAPLUS
CN Cyclopropanesulfonamide, N-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-oxadiazol-5-yl]methyl]-N-methyl- (CA INDEX NAME)



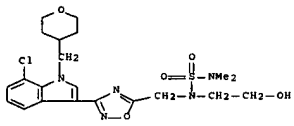
RN 928150-11-2 CAPLUS
CN Sulfamide, N-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-oxadiazol-5-yl]methyl]-N,N',N'-trimethyl- (CA INDEX NAME)



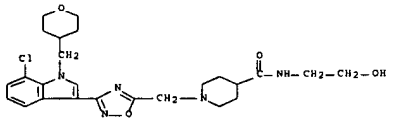
RN 928150-14-5 CAPLUS
CN Acetamide, N-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-oxadiazol-5-yl]methyl]-2-methoxy- (CA INDEX NAME)



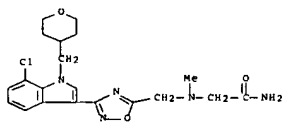
RN 928150-15-6 CAPLUS
CN Sulfamide, N-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-oxadiazol-5-yl]methyl]-N-(2-hydroxyethyl)-N',N'-dimethyl- (CA INDEX NAME)



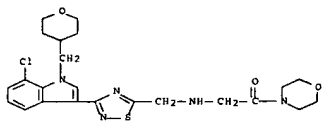
RN 928150-17-8 CAPLUS
CN 4-Piperidinecarboxamide, 1-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-oxadiazol-5-yl]methyl]-N-(2-hydroxyethyl)- (CA INDEX NAME)



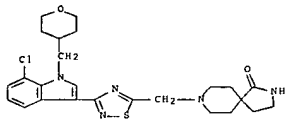
RN 928150-18-9 CAPLUS
CN Acetamide, 2-[[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-oxadiazol-5-yl]methyl]methylamino]- (CA INDEX NAME)



RN 928195-97-5 CAPLUS
CN Ethanone, 2-[[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]amino]-1-(4-morpholinyl)- (CA INDEX NAME)

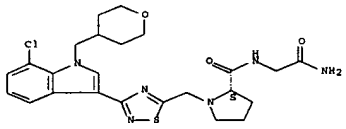


RN 928195-99-7 CAPLUS
CN 2,8-Diazaspiro[4.5]decan-1-one, 8-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]- (CA INDEX NAME)



RN 928196-00-3 CAPLUS
CN Glycinamide, 1-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]-L-prolyl- (CA INDEX NAME)

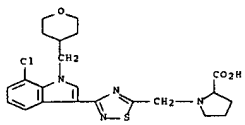
Absolute stereochemistry. Rotation (-).



RN 934185-81-6 CAPLUS
CN Proline, 1-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 928195-98-6
CMF C22 H25 Cl N4 O3 S

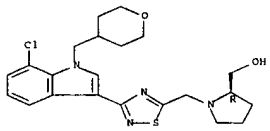


CM 2

CRN 76-05-1
CMF C2 H F3 O2

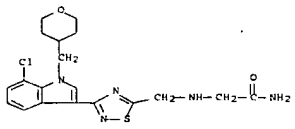
RN 934185-82-7 CAPLUS
CN 2-Pyrrolidinemethanol, 1-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]-, hydrochloride (1:?), (2R)- (CA INDEX NAME)

Absolute stereochemistry.



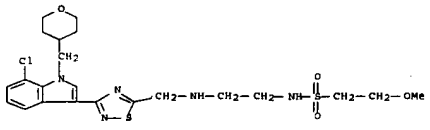
●x HCl

RN 934185-83-8 CAPLUS
CN Acetamide, 2-[[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]amino]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

RN 934185-84-9 CAPLUS
CN Ethanesulfonamide, N-[2-[[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]amino]ethyl]-2-methoxy-, hydrochloride (1:?) (CA INDEX NAME)

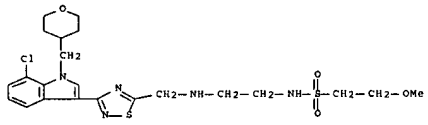


●x HCl

RN 934185-87-2 CAPLUS
CN Ethanesulfonamide, N-[2-[[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]amino]ethyl]-2-methoxy-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

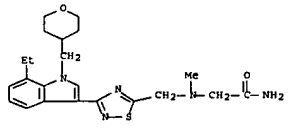
CRN 928196-04-7
CMF C22 H30 Cl N5 O4 S2



CM 2

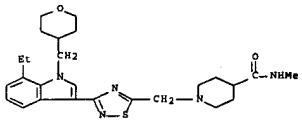
CRN 76-05-1
CMF C2 H F3 O2

RN 934185-88-3 CAPLUS
CN Acetamide, 2-[[[3-[7-ethyl-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]methylamino]-, hydrochloride (1:?) (CA INDEX NAME)

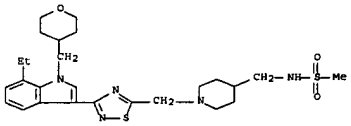


●x HCl

RN 934185-89-4 CAPLUS
CN 4-Piperidinecarboxamide, 1-[[3-[7-ethyl-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]-N-methyl- (CA INDEX NAME)



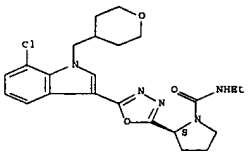
RN 934185-95-2 CAPLUS
CN Methanesulfonamide, N-[[1-[[3-[7-ethyl-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]-4-piperidinyl]methyl]-, hydrochloride (1:?) (CA INDEX NAME)



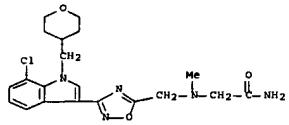
●x HCl

RN 934185-96-3 CAPLUS
CN 1-Pyrrolidinecarboxamide, 2-[5-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,3,4-oxadiazol-2-yl]-N-ethyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

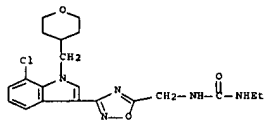


RN 934185-97-4 CAPLUS
CN Acetamide, 2-[[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-oxadiazol-5-yl]methyl]methylamino]-, hydrochloride (1:?) (CA INDEX NAME)



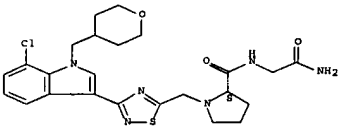
●x HCl

RN 934185-98-5 CAPLUS
CN Urea, N-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-oxadiazol-5-yl]methyl]-N'-ethyl- (CA INDEX NAME)



RN 934232-10-7 CAPLUS
CN Glycinamide, 1-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]-L-prolyl-, hydrochloride (1:?) (CA INDEX NAME)

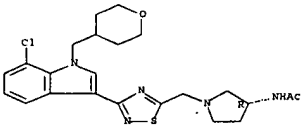
Absolute stereochemistry. Rotation (+).



●x HCl

RN 934232-11-8 CAPLUS
CN Acetamide, N-[(3R)-1-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]-3-pyrrolidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

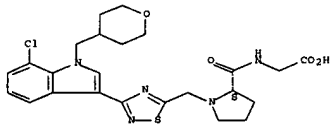


●x HCl

IT 928149-28-4P, (S)-7-Chloro-3-[5-[[2-[N-(carboxymethyl)carbamoyl]pyrrolidin-1-yl]methyl]-1,2,4-thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-azolylindole derivs. as cannabinoid receptor agonists for treatment of pains)

RN 928149-28-4 CAPLUS
CN Glycine, 1-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]-L-prolyl- (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 3 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:220132 CAPLUS Full-text
DN 146:295769
TI Preparation of indol-3-yl heterocycle derivatives as agonists of the cannabinoid CB1 receptor
IN Ratcliffe, Paul David; Adam-Worrall, Julia; Morrison, Angus John; Francis, Stuart John; Kiyoi, Takao
PA Akzo Nobel N.V., Neth.
SO PCT Int. Appl., 53pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007023143	A1	20070301	WO 2006-EP65496	20060821
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI EP 2005-107725	A	20050823		

OS MARPAT 146:295769
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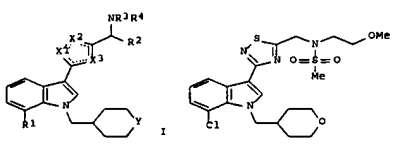


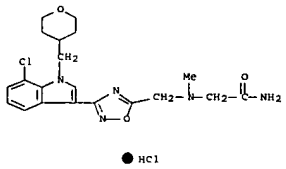
I II

AB Title compds. represented by the formula I [wherein X1-X3 = independently N, O, S or CR; R = H, halo or alkyl; Y = CH2, O, S or SO2; R1 = alkyl, alkoxy, CN or halo; R2 = H or alkyl; R3 = H or (cyclo)alkyl; R4 = (un)substituted alkyl, carbonylamino, sulfonylalkyl, etc.; and pharmaceutically acceptable salts thereof] were prepared as cannabinoid CB1 receptor agonists. For example, II was provided in a multi-step synthesis starting from (tetrahydro-2H-pyran-4-yl)methanol. I showed good efficacy and potency in an in vitro test at the human CB1 receptor expressed in CHO cells with pE50 values of 6.7-7.9. Thus, I and their pharmaceutical comps. are useful for the treatment of pain.

IT [illegible]
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of indol-3-yl heterocycle derivs. as agonists of cannabinoid CB1 receptor)

RN 928150-03-2 CAPLUS

CN Acetamide, 2-[[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-oxadiazol-5-yl]methyl]methylamino]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

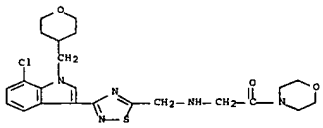
IT 928149-15-9P 928149-25-1P, 7-Chloro-3-[5-[(2-carboxypyrrolidin-1-yl)methyl]-[1,2,4]thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole hydrochloride 928149-26-2P 928149-27-3P 928149-29-5P 928149-30-8P [illegible], 7-Methoxy-3-[5-[[N-[(aminocarbonyl)methyl](methyl)amino]methyl][1,2,4]thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole trifluoroacetate 928149-34-2P 928149-36-4P, 7-Chloro-3-[5-[[N-[(aminocarbonyl)methyl]amino]methyl]-[1,2,4]thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole hydrochloride [illegible] 928149-38-6P, 7-Chloro-3-[[5-[[(aminocarbonyl)methyl](2-methoxyethylsulfonyl)amino]methyl]-[1,2,4]thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole [illegible] 928149-42-2P 928149-44-4P, 7-Ethyl-3-[5-[[3-(methylsulfonyl)pyrrolidin-1-yl]methyl]-[1,2,4]thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole hydrochloride 928149-45-5P 928149-46-6P, 7-Ethyl-3-[5-[[2-(hydroxymethyl)morpholin-4-yl]methyl][1,2,4]thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928149-48-8P, 7-Ethyl-3-[5-[N-[4-[(aminocarbonyl)methyl]piperidin-1-yl]methyl]-

[1,2,4]thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole [illegible] 928149-52-4P 928149-53-5P 928149-72-9P, 7-Ethyl-3-[5-[[4-[(methylaminosulfonyl)methyl]piperidin-1-yl]methyl][1,2,4]thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole hydrochloride 928149-75-1P 928149-86-4P 928149-87-5P 928149-96-6P 928149-97-7P 928149-98-8P 928149-99-9P 928150-04-3P 928150-05-4P 928150-08-7P 928150-09-8P, 7-Chloro-3-[5-[(N-cyclopropylsulfonyl)-N-methylamino]methyl][1,2,4]oxadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928150-11-2P, 7-Chloro-3-[5-[[N-(N',N'-dimethylaminosulfonyl)-N-methylamino]methyl]-[1,2,4]oxadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928150-13-4P, 7-Chloro-3-[5-[[N-[2-(formamido)ethyl]amino]methyl][1,2,4]oxadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole [illegible] 928150-15-6P 928150-17-8P [illegible] 928150-20-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indol-3-yl heterocycle derivs. as agonists of cannabinoid CB1 receptor)

RN 928149-15-9 CAPLUS

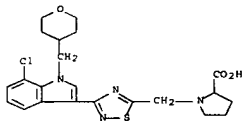
CN Ethanone, 2-[[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]amino]-1-(4-morpholinyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 928149-25-1 CAPLUS

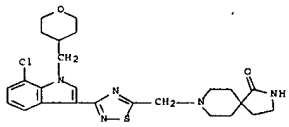
CN Proline, 1-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 928149-26-2 CAPLUS

CN 2,8-Diazaspiro[4.5]decan-1-one, 8-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

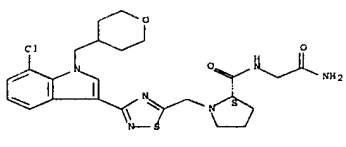


● HCl

RN 928149-27-3 CAPLUS

CN Glycinamide, 1-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]-L-prolyl-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

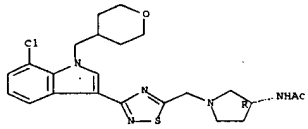


● HCl

RN 928149-29-5 CAPLUS

CN Acetamide, N-[(3R)-1-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]-3-pyrrolidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

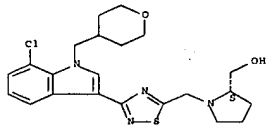


● HCl

RN 928149-30-8 CAPLUS

CN 2-Pyrrolidinemethanol, 1-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]-, hydrochloride (1:1), (2S)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

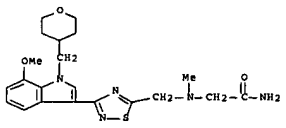
RN 928149-32-0 CAPLUS

CN Acetamide, 2-[[[3-[7-methoxy-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]methylamino]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 928149-31-9

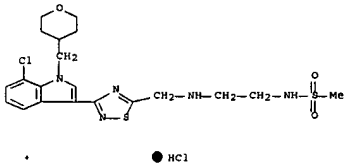
CMF C21 H27 N5 O3 S

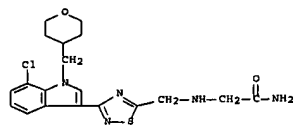


CM 2

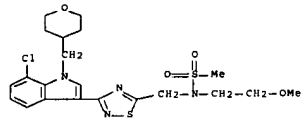
CRN 76-05-1
CMF C2 H F3 O2

RN 928149-34-2 CAPLUS
CN Methanesulfonamide, N-[2-[[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]amino]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

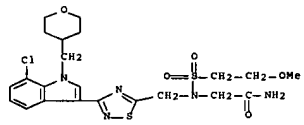




RN 928149-37-5 CAPLUS
CN Methanesulfonamide, N-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]-N-(2-methoxyethyl)- (CA INDEX NAME)

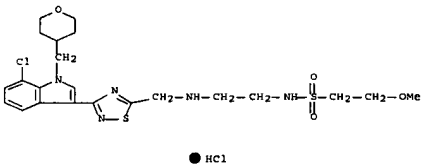


RN 928149-39-7 CAPLUS
CN Acetamide, 2-[[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl][(2-methoxyethyl)sulfonyl]amino]- (CA INDEX NAME)

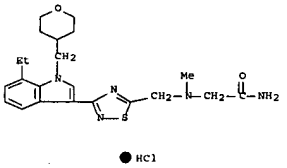


RN 928149-36-4 CAPLUS
CN Acetamide, 2-[[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]amino]-, hydrochloride (1:1) (CA INDEX NAME)

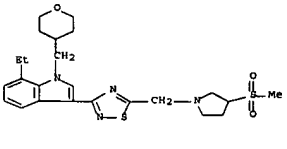
RN 928149-41-1 CAPLUS
CN Ethanesulfonamide, N-[2-[[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]amino]ethyl]-2-methoxy-, hydrochloride (1:1) (CA INDEX NAME)



RN 928149-42-2 CAPLUS
CN Acetamide, 2-[[[3-[7-ethyl-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]methylamino]-, hydrochloride (1:1) (CA INDEX NAME)

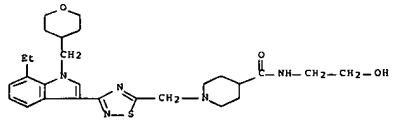


RN 928149-44-4 CAPLUS
CN 1H-Indole, 7-ethyl-3-[5-[[3-(methylsulfonyl)-1-pyrrolidinyl]methyl]-1,2,4-thiadiazol-3-yl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]-, hydrochloride (1:1) (CA INDEX NAME)

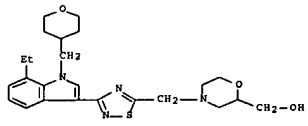


RN 928149-45-5 CAPLUS

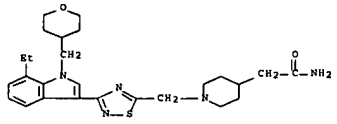
CN 4-Piperidinecarboxamide, 1-[[3-[7-ethyl-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]-N-(2-hydroxyethyl)- (CA INDEX NAME)



RN 928149-46-6 CAPLUS
CN 2-Morpholinemethanol, 4-[[3-[7-ethyl-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]- (CA INDEX NAME)

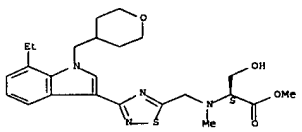


RN 928149-48-8 CAPLUS
CN 4-Piperidineacetamide, 1-[[3-[7-ethyl-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]- (CA INDEX NAME)

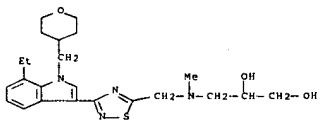


RN 928149-49-9 CAPLUS
CN L-Serine, N-[[3-[7-ethyl-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]-N-methyl-, methyl ester (CA INDEX NAME)

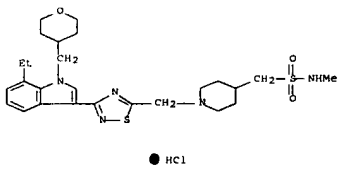
Absolute stereochemistry.



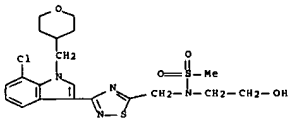
RN 928149-53-5 CAPLUS
CN 1,2-Propanediol, 3-[[[3-[7-ethyl-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]methylamino]- (CA INDEX NAME)



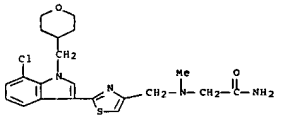
RN 928149-73-9 CAPLUS
CN 4-Piperidinemethanesulfonamide, 1-[[3-[7-ethyl-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]-N-methyl-, hydrochloride (1:1) (CA INDEX NAME)



RN 928149-75-1 CAPLUS
CN Methanesulfonamide, N-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]-N-(2-hydroxyethyl)- (CA INDEX NAME)

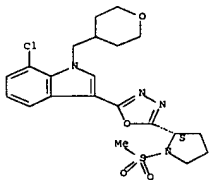


RN 928149-86-4 CAPLUS
CN Acetamide, 2-[[[2-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-4-thiazolyl]methyl]methylamino]- (CA INDEX NAME)



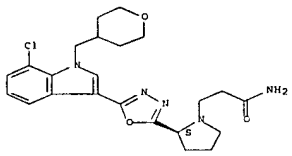
RN 928149-92-2 CAPLUS
CN 1H-Indole, 7-chloro-3-[5-[(2S)-1-(methylsulfonyl)-2-pyrrolidinyl]-1,3,4-oxadiazol-2-yl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]- (CA INDEX NAME)

Absolute stereochemistry.



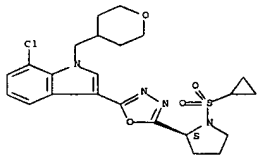
RN 928149-96-6 CAPLUS
CN 1-Pyrrolidinepropanamide, 2-[5-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,3,4-oxadiazol-2-yl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



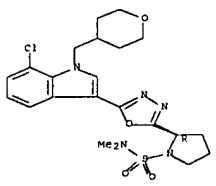
RN 928149-97-7 CAPLUS
CN 1H-Indole, 7-chloro-3-[5-[(2S)-1-(cyclopropylsulfonyl)-2-pyrrolidinyl]-1,3,4-oxadiazol-2-yl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

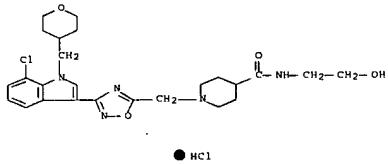


RN 928149-98-8 CAPLUS
CN 1-Pyrrolidinesulfonamide, 2-[5-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,3,4-oxadiazol-2-yl]-N,N-dimethyl-, (2R)- (CA INDEX NAME)

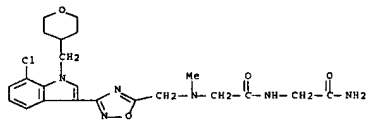
Absolute stereochemistry. Rotation (+).



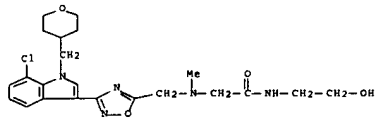
RN 928149-99-9 CAPLUS
CN 4-Piperidinecarboxamide, 1-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-oxadiazol-5-yl]methyl]-N-(2-hydroxyethyl)-, hydrochloride (1:1) (CA INDEX NAME)



RN 928150-04-3 CAPLUS
CN Glycinamide, N-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-oxadiazol-5-yl]methyl]-N-methylglycyl- (CA INDEX NAME)



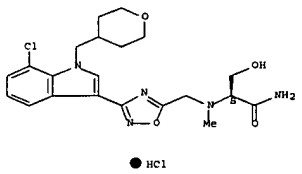
RN 928150-05-4 CAPLUS
CN Acetamide, 2-[[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-oxadiazol-5-yl]methyl]methylamino]-N-(2-hydroxyethyl)- (CA INDEX NAME)



RN 928150-08-7 CAPLUS

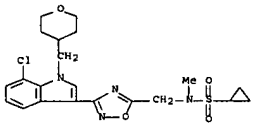
CN Propanamide, 2-[[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-oxadiazol-5-yl]methyl]methylamino]-3-hydroxy-, hydrochloride (1:1), (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

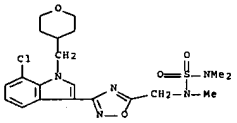


● HCl

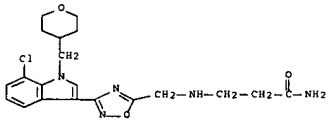
RN 928150-09-8 CAPLUS
CN Cyclopropanesulfonamide, N-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-oxadiazol-5-yl]methyl]-N-methyl- (CA INDEX NAME)



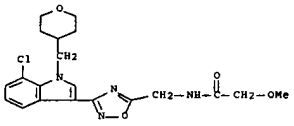
RN 928150-11-2 CAPLUS
CN Sulfamide, N-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-oxadiazol-5-yl]methyl]-N,N',N'-trimethyl- (CA INDEX NAME)



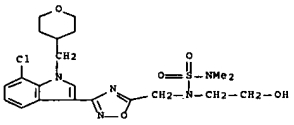
RN 928150-12-3 CAPLUS
CN Propanamide, 3-[[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-oxadiazol-5-yl]methyl]amino]- (CA INDEX NAME)



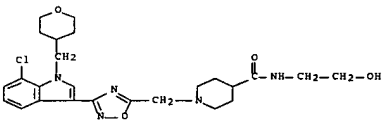
RN 928150-14-5 CAPLUS
CN Acetamide, N-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-oxadiazol-5-yl]methyl]-2-methoxy- (CA INDEX NAME)



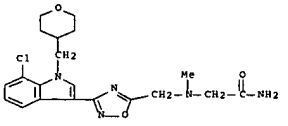
RN 928150-15-6 CAPLUS
CN Sulfamide, N-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-oxadiazol-5-yl]methyl]-N-(2-hydroxyethyl)-N',N'-dimethyl- (CA INDEX NAME)



RN 928150-17-8 CAPLUS
CN 4-Piperidinecarboxamide, 1-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-oxadiazol-5-yl]methyl]-N-(2-hydroxyethyl)- (CA INDEX NAME)



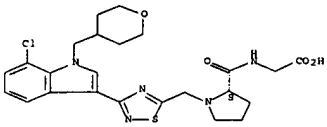
RN 928150-18-9 CAPLUS
CN Acetamide, 2-[[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-oxadiazol-5-yl]methyl]methylamino]- (CA INDEX NAME)



IT 928149-28-4 928149-33-1, Methanesulfonic acid [3-[1-[(tetrahydropyran-4-yl)methyl]-7-methoxyindol-3-yl][1,2,4]thiadiazol-5-yl]methyl ester 928149-40-0, 7-Chloro-3-[5-[[N-[(aminocarbonyl)methyl]amino]methyl][1,2,4]thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of indol-3-yl heterocycle derivs. as agonists of cannabinoid CB1 receptor)

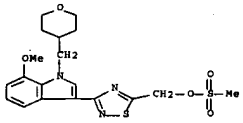
RN 928149-28-4 CAPLUS
CN Glycine, 1-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]-L-prolyl- (CA INDEX NAME)

Absolute stereochemistry.

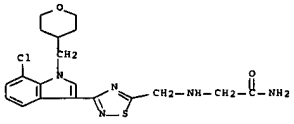


RN 928149-33-1 CAPLUS
CN 1,2,4-Thiadiazole-5-methanol, 3-[7-methoxy-1-[(tetrahydro-2H-pyran-4-

yl)methyl]-1H-indol-3-yl]-, 5-methanesulfonate (CA INDEX NAME)

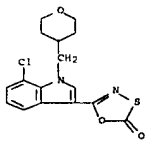


RN 928149-40-0 CAPLUS
CN Acetamide, 2-[[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]amino]- (CA INDEX NAME)

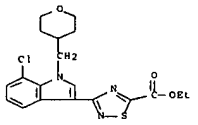


IT 928149-26-8P, 7-Chloro-3-(2-oxo-1,3,4-oxathiazol-5-yl)-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928149-31-7P, 7-Chloro-3-(5-ethoxycarbonyl-[1,2,4]thiadiazol-3-yl)-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928149-33-9P, 7-Chloro-3-(5-hydroxymethyl-[1,2,4]thiadiazol-3-yl)-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928149-34-0P 928149-36-2P, 7-Chloro-3-[5-[[N-(2-methoxyethyl)amino]methyl]-[1,2,4]thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928149-42-2P 928149-77-3P, 7-Chloro-3-[5-[[[(ethoxycarbonyl)methyl]amino]methyl][1,2,4]thiadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928149-79-5P 928149-87-5P, 7-Chloro-3-[4-(chloromethyl)thiazol-2-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928149-95-5P 928150-02-1P 928150-05-5P, 7-Chloro-3-[5-[[N-[(methoxycarbonyl)methyl]-N-methylamino]methyl][1,2,4]oxadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928150-07-7P, 7-Chloro-3-[5-[[N-[(carboxy)methyl]-N-methylamino]methyl][1,2,4]oxadiazol-3-yl]-1-((tetrahydropyran-4-yl)methyl)-1H-indole 928150-10-1P, 7-Chloro-3-[5-[(N-methylamino)methyl][1,2,4]oxadiazol-3-yl]-1-[(tetrahydropyran-4-yl)methyl]-1H-indole 928150-13-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indol-3-yl heterocycle derivs. as agonists of cannabinoid CB1 receptor)

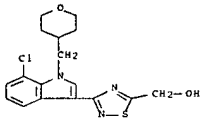
RN 928149-20-6 CAPLUS
CN 1,3,4-Oxathiazol-2-one, [7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]- (CA INDEX NAME)



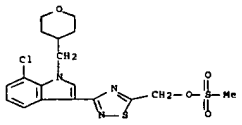
RN 928149-21-7 CAPLUS
CN 1,2,4-Thiadiazole-5-carboxylic acid, 3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-, ethyl ester (CA INDEX NAME)



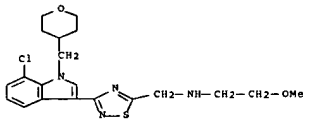
RN 928149-23-9 CAPLUS
CN 1,2,4-Thiadiazole-5-methanol, 3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]- (CA INDEX NAME)



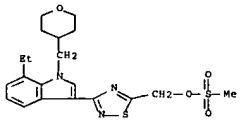
RN 928149-24-0 CAPLUS
CN 1,2,4-Thiadiazole-5-methanol, 3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-, 5-methanesulfonate (CA INDEX NAME)



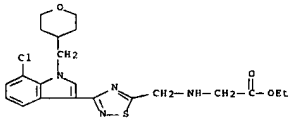
RN 928149-38-6 CAPLUS
CN 1,2,4-Thiadiazole-5-methanamine, 3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-N-(2-methoxyethyl)- (CA INDEX NAME)



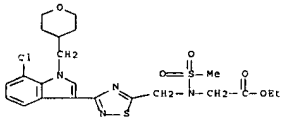
RN 928149-43-3 CAPLUS
CN 1,2,4-Thiadiazole-5-methanol, 3-[7-ethyl-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-, 5-methanesulfonate (CA INDEX NAME)



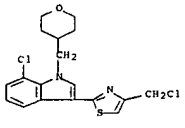
RN 928149-77-3 CAPLUS
CN Glycine, N-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]-, ethyl ester (CA INDEX NAME)



RN 928149-79-5 CAPLUS
CN Glycine, N-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-thiadiazol-5-yl]methyl]-N-(methylsulfonyl)-, ethyl ester (CA INDEX NAME)

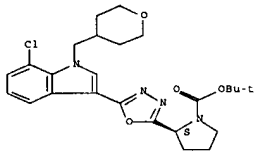


RN 928149-87-5 CAPLUS
CN 1H-Indole, 7-chloro-3-[4-(chloromethyl)-2-thiazolyl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]- (CA INDEX NAME)

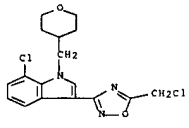


RN 928149-95-5 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[5-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,3,4-oxadiazol-2-yl]-, 1,1-dimethylethyl ester, (2S)- (CA INDEX NAME)

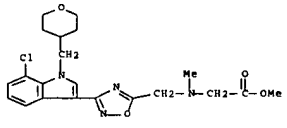
Absolute stereochemistry.



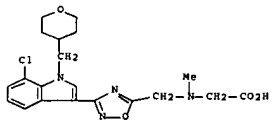
RN 928150-02-1 CAPLUS
CN 1H-Indole, 7-chloro-3-[5-(chloromethyl)-1,2,4-oxadiazol-3-yl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]- (CA INDEX NAME)



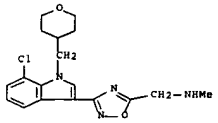
RN 928150-06-5 CAPLUS
CN Glycine, N-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-oxadiazol-5-yl]methyl]-N-methyl-, methyl ester (CA INDEX NAME)



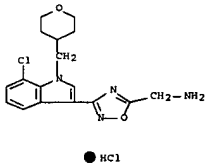
RN 928150-07-6 CAPLUS
CN Glycine, N-[[3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-1,2,4-oxadiazol-5-yl]methyl]-N-methyl- (CA INDEX NAME)



RN 928150-10-1 CAPLUS
CN 1,2,4-Oxadiazole-5-methanamine, 3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-N-methyl- (CA INDEX NAME)



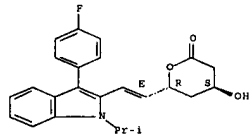
RN 928150-13-4 CAPLUS
CN 1,2,4-Oxadiazole-5-methanamine, 3-[7-chloro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl]-, hydrochloride (1:1) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:1208499 CAPLUS Full-text
DN 146:142160
TI DFT study on hydroxy acid-lactone interconversion of statins: the case of fluvastatin
AU Grabarkiewicz, Tomasz; Grobelny, Pawel; Hoffmann, Marcin; Mielcarek, Jadwiga
CS Quantum Chemistry Group, Faculty of Chemistry, A. Mickiewicz University, Poznan, 60-780, Pol.
SO Organic & Biomolecular Chemistry (2006), 4(23), 4299-4306
CODEN: OBCRAK; ISSN: 1477-0520
PB Royal Society of Chemistry
DT Journal
LA English
AB The mechanism of the interconversion between the lactone form of fluvastatin and its hydroxy acid and hydroxy carboxylate forms under both acidic and basic conditions is investigated theor. using the d. functional theory (DFT) method. The lactone form of fluvastatin is higher in total energy than either its hydroxy acid form (under acidic conditions) or its hydroxy carboxylate form (under basic conditions) by 6-19 kcal mol-1. The activation barrier for the hydrolysis of the lactone form is significantly lower (9 kcal mol-1) than the activation barrier for the lactonization of the hydroxy carboxylate (28 kcal mol-1), making the lactone form kinetically unstable under basic conditions. The activation barriers for lactonization and hydrolysis under acidic conditions are of comparable energies (22 and 28 kcal mol-1), making the occurrence of both forms under acidic conditions equally probable. The activation barrier for a one-step, direct interconversion between the lactone and hydroxy acid forms of fluvastatin is calculated to be unfavorable (> 40 kcal mol-1). There are only small differences in total energy (<5 kcal mol-1) between the major conformers of fluvastatin on its calculated potential energy surface.
IT 93957-56-3
RL: PRP (Properties)
(DFT calcns. of transition state structures and thermodn. for the interconversion of the hydroxy acid or hydroxy carboxylate and lactone forms of fluvastatin under acidic and basic conditions)
RN 93957-56-3 CAPLUS
CN 2H-Pyran-2-one, 6-[(1E)-2-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, (4R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



RE.CNT 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:630136 CAPLUS Full-text
DN 145:103542
TI 3-Cycloalkylcarbonylindoles as cannabinoid receptor ligands and their preparation, pharmaceutical compositions and use for treatment of pain
IN Pace, Jennifer M.; Tietje, Karin; Dart, Michael J.; Meyer, Michael D.
PA Abbott Laboratories, USA
SO PCT Int. Appl., 164 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006069196	A1	20060629	WO 2005-US46480	20051221
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	CA 2592378	A1	20060629	CA 2005-2592378	20051221
	US 2007037801	A1	20070215	US 2005-315862	20051221
	EP 1833824	A1	20070919	EP 2005-855099	20051221
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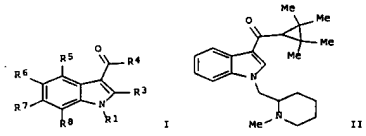
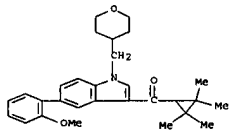
PRAI	US 2004-637987P	P	20041221		
	WO 2005-US46480	W	20051221		

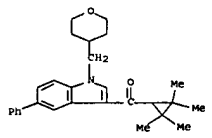
OS MARPAT 145:103542
GI



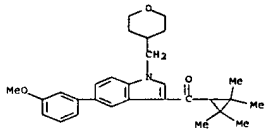
AB The invention provides compds. of formula I, which are CB2 selective ligands useful for the treatment of pain. Compds. of formula I wherein R1 is alkoxyalkyl, allylcarbonylalkyl, alkylthioalkyl, arylalkyl(carbonyl), azidoalkyl, cycloalkylalkyl(carbonyl), haloalkyl, etc.; R2 is (carboxy)alkyl(carbonyl), aryl(alkyl), carboxyalkenylcarbonyl, cycloalkyl(alkyl), haloalkyl, (hetero)aryl(alkyl) heterocycle(alkyl), etc.; R3 is H, alkoxyalkyl, (halo)alkyl; R4 is (un)substituted C3-8 carbocycle; R5-R8 are independently H, alkenyl, alkoxy(alkyl), alkoxycarbonyl(alkoxy), alkoxycarbonylalkyl, alkoxysulfonyl, alkyl(carbonyl)(alkyl), alkylsulfonyloxy, etc.; and their pharmaceutically acceptable salts and prodrugs thereof are claimed. Example compound II was prepared by chlorination of 2,2,3,3-tetramethylcyclopropanecarboxylic acid; the resulting acid chloride underwent acylation reaction with indole to give 1H-indol-3-yl(2,2,3,3-tetramethylcyclopropyl)methanone which reacted with 1-methyl-2-piperidinemethanol to give example compound II. All the invention compds. were evaluated for their cannabinoid receptor affinity. From the assay, it was determined that the invention compound were selective towards CB2 receptors.
IT 895157-18-3P 895157-19-4P 895157-20-7P
895157-23-0P 895157-24-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of cycloalkylcarbonylindoles as cannabinoid receptor ligands useful for the treatment of pain)
RN 895157-18-3 CAPLUS
CN Methanone, [5-(2-methoxyphenyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl](2,2,3,3-tetramethylcyclopropyl)- (CA INDEX NAME)



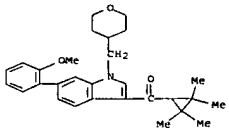
RN 895157-19-4 CAPLUS
CN Methanone, [5-phenyl-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl](2,2,3,3-tetramethylcyclopropyl)- (CA INDEX NAME)



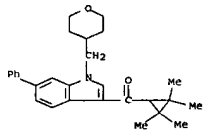
RN 895157-20-7 CAPLUS
CN Methanone, [5-(3-methoxyphenyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl](2,2,3,3-tetramethylcyclopropyl)- (CA INDEX NAME)



RN 895157-23-0 CAPLUS
CN Methanone, (6-(2-methoxyphenyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl](2,2,3,3-tetramethylcyclopropyl)- (CA INDEX NAME)



RN 895157-24-1 CAPLUS
CN Methanone, (6-phenyl-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-indol-3-yl](2,2,3,3-tetramethylcyclopropyl)- (CA INDEX NAME)



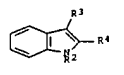
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:437069 CAPLUS Full-text
DN 144:468020
TI Process for preparation of 2-substituted indoles from dihalovinylanilines and organoboron reagents.
IN Lautens, Mark; Fang, Yuanqing

PA Can.
SO PCT Int. Appl., 172 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006047888	A1	20060511	WO 2005-CA1703	20051104
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
CA 2586910	A1	20060511	CA 2005-2586910	20051104
EP 1817283	A1	20070815	EP 2005-803043	20051104
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
PRAI US 2004-625102P	P	20041105		
US 2005-662797P	P	20050318		
WO 2005-CA1703	W	20051104		

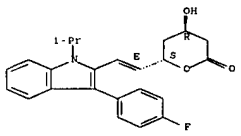
OS MARPAT 144:468020
GI



AB Title compds. [I; R2 = H, (substituted) alkyl, cycloalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl; R3 = H, (substituted) alkyl, haloalkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, aralkyl, heteroaralkyl; R4 = (substituted) mono- or polycyclic aryl, heteroaryl, alkyl, alkenyl bonded to the 2-position of the indole ring via a C-C bond] were prepared by reaction of ortho-dihalovinylanilines (II; X = Br, Cl, iodo; R2, R3 as above) with boronic esters, boronic acids, boronic acid anhydrides, trialkylboranes, or 9-BBN derivs. of R4 in the presence of base, Pd metal precatalyst, and a ligand. Thus, 2-(2,2- dibromovinyl)phenylamine, PhB(OH)2, K3PO4.H2O, Pd(OAc)2, and s-Phos were heated in PhMe at 90° for 6 h to give 84% 2-phenylindole.
IT 94061-83-3P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for preparation of substituted indoles from dihalovinylanilines and organoboron reagents)
RN 94061-83-3 CAPLUS

CN 2H-Pyran-2-one, 6-[(1E)-2-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, (4R,6S)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



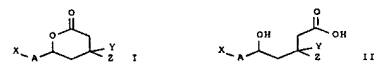
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:365409 CAPLUS Full-text
DN 144:390939
TI Preparation of azolyldihydroxyalkanoates and lactones thereof as inhibitors of MAP kinase and/or HMG-CoA reductase for the treatment of inflammation
IN Griffin, John; Lanza, Guido; Yu, Jessen
PA USA
SO U.S. Pat. Appl. Publ., 126 pp., Cont.-in-part of U.S. Ser. No. 118,113.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2006084695	A1	20060420	US 2005-262521	20051028
US 2005272770	A1	20051208	US 2005-118090	20050429
US 2005282883	A1	20051222	US 2005-118113	20050429
US 2005289306	A1	20051229	US 2005-118064	20050429
US 7163945	B2	20070116		
US 2006111436	A1	20060525	US 2005-118098	20050429
EP 1755607	A2	20070228	EP 2005-818178	20050429
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
JP 2007535558	T	20071206	JP 2007-511020	20050429
US 2007004758	A1	20070104	US 2006-469417	20060831
US 2007015779	A1	20070118	US 2006-469419	20060831
IN 2006DN06868	A	20070831	IN 2006-DN6868	20061117
PRAI US 2004-567118P	P	20040429		
US 2004-630683P	P	20041123		
US 2004-630684P	P	20041123		
US 2005-118113	A2	20050429		
US 2005-118064	A1	20050429		
US 2005-118065	A1	20050429		
WO 2005-US14843	W	20050429		

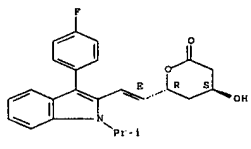
OS MARPAT 144:390939

GI



AB Analogs of atorvastatin and its lactones I and II [wherein A = covalent bond, methylene, ethylene, etc.; X = lipophilic moiety; Y = H or lower alkyl; Z = H or OH] and salts of II were prepared as inhibitors of MAP kinase and/or HMG-CoA reductase. Thus, atorvastatin calcium in EtOAc was treated with aqueous NaHSO4 to give atorvastatin acid, which was heated in PhMe at 60° for 40 h to give atorvastatin lactone in 46% yield. The latter inhibited p38 MAP kinase with IC50 = 20 μM. Therefore, I and their pharmaceutical compns. are useful for the treatment of inflammation.
IT 93957-56-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azolyldihydroxyalkanoates and lactones thereof as inhibitors of MAP kinase and/or HMG-CoA reductase for treatment of inflammation)
RN 93957-56-3 CAPLUS
CN 2H-Pyran-2-one, 6-[(1E)-2-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, (4R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



L9 ANSWER 8 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:273973 CAPLUS Full-text
DN 144:305150
TI Use of HMG-CoA reductase inhibitors in drugs for the treatment of hyperplastic or dysplastic colon polyps
IN Schmiegel, Wolff
PA Germany
SO Ger. Offen., 4 pp.
CODEN: GWXXBX
DT Patent
LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 102004036907	A1	20060323	DE 2004-102004036907	20040729
PRAI	DE 2004-102004036907		20040729		

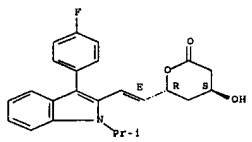
AB The invention discloses the use of HMG-CoA reductase inhibitors for the production of medicaments suitable for the primary and secondary prevention and treatment of hyperplastic or dysplastic colon polyps, as well as their use in pharmaceutical prepns. for rectal application.

IT 93957-56-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(HMG-CoA reductase inhibitors for treatment of hyperplastic or dysplastic colon polyps)

RN 93957-56-3 CAPLUS

CN 2H-Pyran-2-one, 6-[(1E)-2-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, (4R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 9 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:233553 CAPLUS Full-text
DN 145:20444
TI Effects of acid and lactone forms of eight HMG-CoA reductase inhibitors on CYP-pmediated metabolism and MDR1-mediated transport
AU Sakaeda, Toshiyuki; Fujino, Hideki; Komoto, Chiho; Kakumoto, Mikio; Jin, Jiang-shu; Iwaki, Koichi; Nishiguchi, Kohshi; Nakamura, Tsutomu; Okamura, Noboru; Okumura, Katsuhiko
CS Department of Hospital Pharmacy, School of Medicine, Kobe University, 7-5-2, Kusunoki-Cho, Chuo-Ku, Kobe, 650-0017, Japan
SO Pharmaceutical Research (2006), 23(3), 506-512
CODEN: PHREEB; ISSN: 0724-8741
PB Springer
DT Journal
LA English
AB With the growing clin. usage of 3-hydroxy-3-methylglutaryl CoA reductase inhibitors (statins), the number of reports concerning serious drug-drug interaction has been increasing. Because recent studies have shown that conversion between acid and lactone forms occurs in the body, drug-drug interaction should be considered on both acid and lactone forms. Thus, we investigated the inhibitory effects of acid and lactone forms of eight statins, including one recently withdrawn, cerivastatin, and two recently

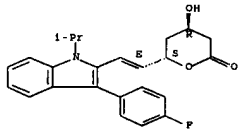
developed, pitavastatin and rosuvastatin, on cytochrome P 450 (CYP) 2C8, CYP2C9, and CYP3A4/5 metabolic activities and multidrug resistance protein 1 (MDR1) transporting activity. The inhibitory effects of statins on CYP metabolic activities and MDR1 transporting activity were investigated using human liver microsomes and MDR1-overexpressing LLC-GA5-COL150 cells, resp. The acid forms had minimal inhibitory effects on all CYP activities tested, except for fluvastatin on CYP2C9-mediated tolbutamide 4-hydroxylation (IC50 = 1.7 μM) and simvastatin on CYP3A4/5-mediated paclitaxel 3-hydroxylation (12.0 μM). Lactone forms showed no or minimal inhibitory effects on CYP2C8, CYP2C9, and CYP2C19 activities, except for rosuvastatin on the CYP2C9 activity (20.5 μM), whereas they showed stronger inhibitory effects on the CYP3A4/5 activity with the rank order of atorvastatin (5.6 μM), cerivastatin (8.1 μM), fluvastatin (14.9 μM), simvastatin (15.2 μM), rosuvastatin (20.7 μM), and lovastatin (24.1 μM). Pitavastatin and pravastatin had little inhibitory effect, and a similar order was found also for testosterone 6β-hydroxylation. MDR1-mediated transport of [3H]digoxin was inhibited only by lactone forms, and the rank order correlated with that of inhibitory effects on both CYP3A4/5 activities. Inhibitory effects on MDR1 activity, and on both CYP3A4/5 activities, could be explained by the lipophilicity; however, a significant correlation was found between the lipophilicity and inhibitory effects on CYP2C8-mediated paclitaxel 6α-hydroxylation. We showed the difference between the acid and lactone forms in terms of drug interaction. The lipophilicity could be one of the important factors for inhibitory effects. In the case of statins, it is important to examine the effects of both forms to understand the events found in clin. settings, including the pleiotropic effects.

IT 94061-83-3
RL: ADV (Adverse effect, including toxicity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effects of acid and lactone forms of eight HMG-CoA reductase inhibitors on CYP-pmediated metabolism and MDR1-mediated transport)

RN 94061-83-3 CAPLUS

CN 2H-Pyran-2-one, 6-[(1E)-2-[3-(4-fluorophenyl)-1-(1-méthylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, (4R,6S)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 10 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:1289025 CAPLUS Full-text
DN 144:40789
TI Statin lactone compositions and treatments for modulating kinase and/or HMG-CoA reductase

IN Griffin, John
PA Pharmix Corporation, USA
SO PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005115397	A2	20051208	WO 2005-US14833	20050429
	WO 2005115397	A3	20060713		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2005272770	A1	20051208	US 2005-118090	20050429
	US 2005277653	A1	20051215	US 2005-118065	20050429
	US 7199126	B2	20070403		
	US 2005288306	A1	20051229	US 2005-118064	20050429
	US 7163945	B2	20070116		
	WO 2006028524	A2	20060316	WO 2005-US14843	20050429
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	US 2006111436	A1	20060525	US 2005-118098	20050429
	EP 1755607	A2	20070228	EP 2005-818178	20050429
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
	JP 2007535558	T	20071206	JP 2007-511020	20050429
	US 2007004758	A1	20070104	US 2006-469417	20060831
	US 2007015779	A1	20070118	US 2006-469419	20060831
	IN 2006DN06868	A	20070831	IN 2006-DN6868	20061117
PRAI	US 2004-567118P	P	20040429		
	US 2004-630683P	P	20041123		
	US 2004-630684P	P	20041123		
	US 2005-118064	A1	20050429		
	US 2005-118065	A1	20050429		
	WO 2005-US14843	W	20050429		

OS MARPAT 144:40789

AB The present invention provides compns. of matter, kits and methods for their use in the treatment of kinase-related conditions and/or HMG-CoA reductase-related conditions. In particular, the invention provides compns. for

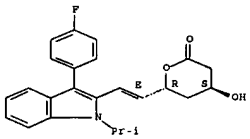
treating immuno-compromised and/or cardiovascular conditions in an animal subject by modulating one or more MAP kinase(s) and/or HMG-CoA reductase, as well as providing formulations and modes of administering such compns. E.g., fluvastatin lactone was prepared from fluvastatin sodium and ointment compns. were prepared from this and other similar lactones such as cerivastatin lactone.

IT 93957-56-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(statin lactone compns. and treatments for modulating kinase and/or HMG-CoA reductase)

RN 93957-56-3 CAPLUS

CN 2H-Pyran-2-one, 6-[(1E)-2-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, (4R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



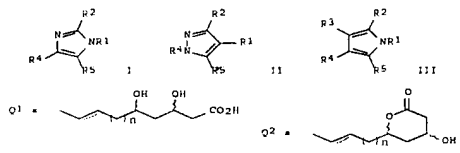
L9 ANSWER 11 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:1242867 CAPLUS Full-text
DN 144:6807
TI Preparation of azolyldihydroxyalkanoates and lactones thereof as inhibitors of MAP kinase and/or HMG-CoA reductase.
IN Griffin, John; Lanza, Guido; Yu, Jessen
PA USA
SO U.S. Pat. Appl. Publ., 129 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005261354	A1	20051124	US 2005-118066	20050429
	US 7183285	B2	20070227		
	US 2005272770	A1	20051208	US 2005-118090	20050429
	US 2005277653	A1	20051215	US 2005-118065	20050429
	US 7199126	B2	20070403		
	US 2005288306	A1	20051229	US 2005-118064	20050429
	US 7163945	B2	20070116		
	WO 2006028524	A2	20060316	WO 2005-US14843	20050429
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,				

NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

US 2006111436	A1	20060525	US 2005-118098	20050429
EP 1755607	A2	20070228	EP 2005-818178	20050429
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
JP 2007535558	T	20071206	JP 2007-511020	20050429
US 2007004758	A1	20070104	US 2006-469417	20060831
US 2007015779	A1	20070118	US 2006-469419	20060831
IN 2006DN06868	A	20070831	IN 2006-DN6868	20061117
PRAI US 2004-567118P	P	20040429		
US 2004-630683P	P	20041123		
US 2004-630684P	P	20041123		
US 2005-118064	A1	20050429		
US 2005-118065	A1	20050429		
WO 2005-US14843	W	20050429		

OS MARPAT 144:6807
GI

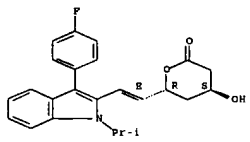


AB Title compds. e.g. [I, II, III; R1 = Q1, Q2; n = 0, any integer; R2 = (substituted) alkyl, aryl, heteroaryl; R3 = any substituent; R4 = (substituted) pyrimidinyl, pyridyl, imidazolyl; R5 = (substituted) aryl, heteroaryl; and salts thereof], were prepared Thus, atorvastatin calcium in EtOAc was treated with aqueous NaHSO4 to give atorvastatin acid, which was heated in PhMe at 60° for 40 h to give 46% atorvastatin lactone. The latter inhibited p38 MAP kinase with IC50 = 20 μM.

IT 93957-56-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azolyldihydroxyalkanoates and lactones thereof as inhibitors
of MAP kinase and/or HMG-CoA reductase)

RN 93957-56-3 CAPLUS
CN 2H-Pyran-2-one, 6-[(1E)-2-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, (4R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

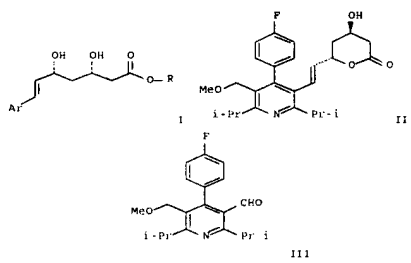


RE.CNT 110 THERE ARE 110 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 12 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2003:832147 CAPLUS Full-text
DN 139:323335
TI Preparation of aromatic aldehydes via the ozonolysis of aromatic alkenes
IN Antons, Stefan; Rehse, Joachim; Diehl, Herbert; Laue, Christian
PA Bayer Aktiengesellschaft, Germany
SO Eur. Pat. Appl., 30 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

PI	EP 1354865	A1	20031022	EP 2003-8308	20030410
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	DE 10216967	A1	20031113	DE 2002-10216967	20020416
	US 2003232989	A1	20031218	US 2003-413199	20030414
	JP 2003335756	A	20031128	JP 2003-112036	20030416
PRAI	DE 2002-10216967	A	20020416		

OS CASREACT 139:323335; MARPAT 139:323335
GI

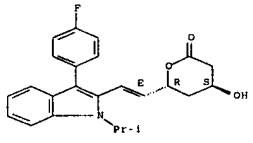


AB Preparation of aromatic aldehydes (Ar-CHO) via ozonolysis of aromatic alkenes I or the corresponding lactone [Ar = (un)substituted aryl, heteroaryl; R = H, alkyl, cycloalkyl, etc.] is disclosed. For example, ozonolysis of lactone II in methanol afforded aldehyde III in 83% yield. The process is claimed useful for the recycling of HMG-CoA reductase inhibitors unwanted, i.e, false (sic), diastereomers.

IT 93957-56-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of aromatic aldehydes via the ozonolysis of aromatic alkenes)

RN 93957-56-3 CAPLUS
CN 2H-Pyran-2-one, 6-[(1E)-2-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, (4R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 13 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2003:261607 CAPLUS Full-text
DN 138:265599
TI Screening and selection methods for statin drug combinations

IN Prueksaritanont, Thomayant
PA Merck & Co., Inc., USA
SO PCT Inc. Appl., 42 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

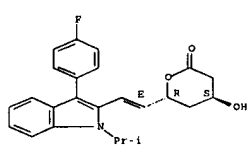
PI	WO 2003026573	A2	20030403	WO 2002-US30004	20020920
	WO 2003026573	A3	20040812		
	W: CA, JP, US				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR				
	CA 2459926	A1	20030403	CA 2002-2459926	20020920
	EP 1465667	A2	20041013	EP 2002-763681	20020920
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR, BG, CZ, EE, SK				
	JP 2005512516	T	20050512	JP 2003-530212	20020920
	US 2004180392	A1	20040916	US 2004-490462	20040323
PRAI	US 2001-324485P	P	20010924		
	US 2002-378612P	P	20020507		
	WO 2002-US30004	W	20020920		

AB A method for screening statins in their open acid form to determine the susceptibility of each tested statin to metabolic glucuronidation is provided. Also provided is a method for determining if a non-statin pharmaceutical drug co-administered with a statin that is susceptible to metabolic glucuronidation in its open acid form, will inhibit the glucuronidation of the statin and thereby increase the risk of an adverse drug interaction.

IT 93957-56-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(screening and selection methods for statin drug combinations)

RN 93957-56-3 CAPLUS
CN 2H-Pyran-2-one, 6-[(1E)-2-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, (4R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



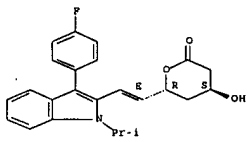
L9 ANSWER 14 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2003:76537 CAPLUS Full-text
DN 138:126973
TI Sublingual use of cholesterol biosynthesis inhibitors for heart-related and other vascular emergencies

IN Weiss, Sol
PA USA
SO PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003007846	A1	20030130	WO 2002-US21287	20020719
W: CA, CN, JP				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR				
US 2003100493	A1	20030529	US 2002-160441	20020604
PRAI US 2001-306977P	P	20010719		
US 2001-314532P	P	20010823		
US 2002-160441	A	20020604		

AB The invention is a method introducing the sublingual placement of statin drugs, including fluvastatin, atorvastatin, lovastatin, pravastatin and simvastatin, for heart-related and other vascular emergencies. Current research challenges are developing many new derivs. and new classes of these HMG-CoA reductase inhibitors which alter the biosynthesis of cholesterol. This method applies these medications (statin drugs) in a form such as sublingual (under the tongue) for rapid absorption and immediate high blood levels similar to that of nitroglycerin. The advantage of this method is that it will benefit those who are stricken with strokes and heart attacks by therefore saving lives and costs of medical care.
IT 93957-56-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(sublingual use of cholesterol biosynthesis inhibitors for heart-related and other vascular emergencies, and use with other agents)
RN 93957-56-3 CAPLUS
CN 2H-Pyran-2-one, 6-[(1E)-2-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, (4R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

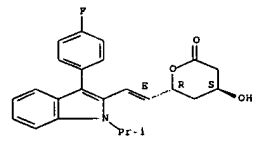
L9 ANSWER 15 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:484862 CAPLUS Full-text
DN 137:41779

TI Nutritional supplements for stimulating bone growth
IN Mundy, Gregory R.; Garrett, I. Ross; Gutierrez, Gloria E.
PA Osteoscreen, Inc., USA
SO U.S., 17 pp., Cont.-in-part of U.S. Ser. No. 488,380.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 6

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 6410521	B1	20020625	US 2000-541943	20000403
US 6080779	A	20000627	US 1998-96957	19980612
US 6376476	B1	20020423	US 2000-488380	20000120
WO 2001074180	A1	20011011	WO 2001-US40421	20010402
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1267641	A1	20030102	EP 2001-927431	20010402
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRAI US 1998-96631	A2	19980612		
US 1998-96957	A2	19980612		
US 2000-488380	A2	20000120		
US 1996-32893P	P	19961213		
US 1997-989862	A2	19971212		
US 2000-541943	A	20000403		
WO 2001-US40421	W	20010402		

AB A food or food supplement which comprises a compound that enhances bone growth in vertebrates is described wherein the food or foodstuff is formulated so as to provide the desired bone growth enhancing effect. The methods of the invention use red yeast rice or a statin compound
IT 93957-56-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(nutritional supplements for stimulating bone growth)
RN 93957-56-3 CAPLUS
CN 2H-Pyran-2-one, 6-[(1E)-2-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, (4R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



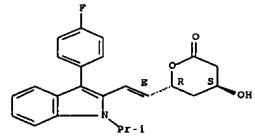
RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 16 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2001:472486 CAPLUS Full-text
DN 135:56086
TI Cyclooxygenase 2 inhibitor-HMG-CoA reductase inhibitor combination for treating neurodegenerative diseases, especially Alzheimer's disease
IN Waldstreicher, Joanne
PA Merck & Co. Inc., USA
SO PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001045698	A1	20010628	WO 2000-US34069	20001218
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002115689	A1	20020822	US 2000-731963	20001207
PRAI US 1999-172926P	P	19991221		

AB The invention provides a drug combination comprised of an HMG-CoA reductase inhibitor and a selective COX-2 inhibitor, which is useful for treating, preventing, delaying the onset of and/or reducing the risk of developing Alzheimer's disease. One object of the invention is to administer the above-described combination therapy to people who do not yet show clin. signs of Alzheimer's disease, but who are at risk of developing Alzheimer's disease. These individuals may already show signs of mild cognitive impairment. Toward this end, the invention provides methods for preventing or reducing the risk of developing Alzheimer's by administering the above-described combination therapy to the at risk persons. Such treatment may halt or reduce the rate of further cognitive decline or, in fact, reverse cognitive decline. The invention also provides a method for preventing cognitive impairment or dementia, reducing the risk of cognitive decline or impairment or reducing cognitive decline or impairment resulting from stroke, stroke, cerebral ischemia or demyelinating disorders.
IT 93957-56-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cyclooxygenase 2 inhibitor-HMG-CoA reductase inhibitor combination for treating neurodegenerative diseases, especially Alzheimer's disease)
RN 93957-56-3 CAPLUS
CN 2H-Pyran-2-one, 6-[(1E)-2-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, (4R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



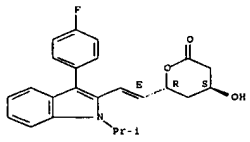
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 17 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2001:247177 CAPLUS Full-text
DN 134:275767
TI Synergistic anti-hypercholesterolemic drug combination using an HMG-CoA reductase inhibitor with an ACAT inhibitor
IN Chao, Yu-Sheng
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001022962	A1	20010405	WO 2000-US26414	20000926
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRAI US 1999-157184P	P	19990930		

AB The invention provides a drug combination comprised of an HMG-CoA reductase inhibitor with an ACAT inhibitor in synergistic therapeutically effective amts., which is useful for reducing cholesterol synthesis, lowering plasma LDL cholesterol levels and lowering plasma triglyceride levels. Profound synergy can be achieved only when the ACAT inhibitor is administered in low dosage amts., above which the beneficial synergistic effects diminish and disappear.
IT 93957-56-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(HMG-CoA reductase inhibitor-ACAT inhibitor synergistic hypocholesterolemic drug combination)
RN 93957-56-3 CAPLUS
CN 2H-Pyran-2-one, 6-[(1E)-2-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, (4R,6S)-rel- (CA INDEX NAME)

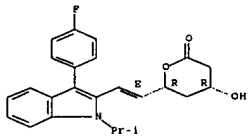
Relative stereochemistry.
Double bond geometry as shown.



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 18 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2001:146168 CAPLUS Full-text
DN 134:320523
TI A comparison of the effects of 3-hydroxy-3-methylglutaryl-coenzyme A (HMG-CoA) reductase inhibitors on the CYP3A4-dependent oxidation of mexazolam in vitro
AU Ishigami, Michi; Honda, Tomoyo; Takasaki, Wataru; Ikeda, Toshihiko; Komai, Toru; Ito, Kiyomi; Sugiyama, Yuichi
CS Drug Metabolism and Pharmacokinetics Research Laboratories and Product Strategy Department, Sankyo Co., Ltd., Tokyo, Japan
SO Drug Metabolism and Disposition (2001), 29(3), 282-288
CODEN: DMDSAI; ISSN: 0090-9556
PB American Society for Pharmacology and Experimental Therapeutics
DT Journal
LA English
AB HMG-CoA reductase inhibitors can be divided into two groups: those administered as the prodrug, i.e., the lactone form (e.g., simvastatin and lovastatin), and those administered in the active form, i.e., the acid form (e.g., pravastatin, fluvastatin, atorvastatin, and cerivastatin). In this study, the influence of the lactone and acid forms of various HMG-CoA reductase inhibitors on metabolism by CYP3A4, a major cytochrome P 450 isoform in human liver, was investigated by determining the in vitro inhibition constant (Ki value) using an antianxiety agent, mexazolam, as a probe substrate. In human liver microsomes, all the lactone forms tested inhibited the oxidative metabolism of mexazolam more strongly than did the acid forms, which have lower partition coefficient (logD7.0) values. In addition, the degree of inhibition of mexazolam metabolism tended to increase with an increasing logD7.0 value of the HMG-CoA reductase inhibitors among the lactone and acid forms. In particular, pravastatin (acid form), which has the lowest logD7.0 value, failed to inhibit CYP3A4 activity. Taking account of the lipophilicity of the inhibitors, in conjunction with the CYP3A4-inhibitory activity, could be very useful in predicting drug interactions between substrates of CYP3A4 and HMG-CoA reductase inhibitors.
IT [illegible]
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(comparative effects of HMG-CoA reductase inhibitors on CYP3A4-dependent oxidation of mexazolam)
RN 93957-57-4 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6α(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

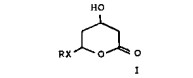


RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

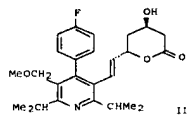
L9 ANSWER 19 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1998:682331 CAPLUS Full-text
DN 129:290016
TI Chromatographic enantiomer separation of lactones with N-(acryloyl)-L-phenylalanine D-neomenthylamide modified polymers
IN Bomer, Bruno; Grosser, Rolf; Kohler, Burkhard; Michel, Stefan; Zweering, Uwe; Bomer, Karin-Elfriede; Bomer, Guido Martin; Bomer, Felix Marcel; Lange, Walter
PA Bomer, Karin-Elfriede, Germany
SO PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9845230	A1	19981015	WO 1998-EP1788	19980326
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
DE 19714343	A1	19981015	DE 1997-19714343	19970408
AU 9872112	A	19981030	AU 1998-72112	19980326
EP 973705	A1	20000126	EP 1998-919159	19980326
EP 973705	B1	20050727		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001521507	T	20011106	JP 1998-542317	19980326
AT 300509	T	20050815	AT 1998-919159	19980326
ZA 9802948	A	19981009	ZA 1998-2948	19980407
US 6274736	B1	20010814	US 1999-380332	19990903
US 2002133017	A1	20020919	US 2001-757919	20010110
US 6689889	B2	20040210		
PRAI DE 1997-19714343	A	19970408		
WO 1998-EP1788	W	19980326		

US 1999-380332 A3 19990903
OS MARPAT 129:290016
GI



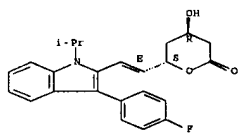
I



II

AB The present invention describes the use of optically active polymers made from N-(acryloyl)-(S)-phenylalanine D-neomenthylamide or its enantiomer, in cross-linked form and/or bonded to a carrier, as stationary phases for chromatog. enantiomer separation of lactones I (R = organic residue; X = CH2CH2, CH:CH). Thus, racemic II was separated (enantioselectivity α = 5.82) using silica gel modified with N-(acryloyl)phenylalanine D-neomenthylamide.
IT [illegible]
RL: PUR (Purification or recovery); PREP (Preparation)
(chromatog. enantiomer separation of lactones with N-(acryloyl)-L-phenylalanine D-neomenthylamide modified polymers)
RN 94061-83-3 CAPLUS
CN 2H-Pyran-2-one, 6-[(1E)-2-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, (4R,6S)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 20 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1998:112229 CAPLUS Full-text
DN 128:192667
TI Preparation of substituted aromatic compounds as inhibitors of tumor necrosis factor and cyclic AMP phosphodiesterase
IN He, Wei; Hulme, Christopher; Huang, Fu-chih; Djuric, Stevan W.; Moriarty, Kevin; Labaudiniere, Richard
PA Rhone-Poulenc Rorer Pharmaceuticals Inc., USA; He, Wei; Hulme, Christopher; Huang, Fu-Chih; Djuric, Stevan W.; Moriarty, Kevin; Labaudiniere, Richard
SO PCT Int. Appl., 154 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9805327	A1	19980212	WO 1997-US13343	19970722
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9738990	A	19980225	AU 1997-38990	19970722
PRAI US 1996-23165P	P	19960805		
WO 1997-US13343	W	19970722		

OS MARPAT 128:192667
GI

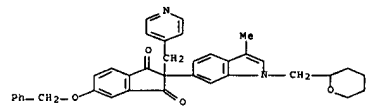
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB This invention is directed to compound of formula [I; ring A = Q10, Q11; Ar1 = Q12, Q13, Q14; ring Ar2 = (un)substituted fused Ph or fused monocyclic heteroaryl; R = (un)substituted alkyl, aralkyl, or heteroaralkyl, arylsulfonyl, heteroarylsulfonyl, etc.; R1 = carboxyalkyl, alkoxycarbonylalkyl, N-(un)substituted carbamoylalkyl, cyanoalkyl, (un)substituted aralkyl or heteroaralkyl; R2 = (un)substituted lower alkyl; R3 = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, or oxaaliph., (un)substituted or optionally oxidized cyclothioalkyl or cyclothioalkenyl; R4, R6 = H, (un)substituted lower alkyl; R5 = (un)substituted alkyl, alkoxy, cycloalkyl, or heterocyclyl, alkoxycarbonyl, cyano, (un)substituted carbamoyl, (un)substituted aryl or heteroaryl, or CO2H where m is other than 0; R7 = H, alkoxy, (un)substituted cycloalkyl, cycloalkenyl, cycloalkoxy, cycloalkenyloxy, aryl, heteroaryl, aryloxy, heteroaryloxy, aralkyloxy, heteroaralkyloxy, alkylthio, or alkylsulfinyl, etc.; Q1, Q2 = CH2, O-(un)substituted CHOH, CO; Q3, Q4, Q5, Q9 = N, optionally halo-substituted CH; Q6 = N, CH; Q7-C-Q8 = N-(un)saturated NHCH:N, O-CH:CH, CH:CH-O, O-CH2CH2, CH2CH2O; Z', Z'' = H or Z'Z'' = O or S; Z1, Z2 = direct bond, O, S; Z3 = SO2, direct bond; Z4 = direct bond, O, S, NH; Z5 = direct bond, (un)substituted lower alkenyl; m, n = 0, 1; p = 1-3; q = 0-5] or hydrate, solvate, N-oxide, or prodrug thereof or a pharmaceutically acceptable salt thereof are. They are especially useful for inhibiting the production or physiol. effects of tumor necrosis factor (TNF) and inhibit cAMP phosphodiesterase and are useful for the treatment of disease states associated with abnormally high physiol. levels of cytokines such as TNF or

those associated with pathol. (e.g. asthma as bronchodilators or inflammation) conditions that are modulated by inhibiting enzymes such as cAMP phosphodiesterase (no data). In particular, they are used for treating a disease state capable of being modulated by inhibiting TNF, e.g., joint inflammation, arthritis, rheumatoid arthritis, rheumatoid spondylitis and osteoarthritis, sepsis, septic shock, gram neg. sepsis, toxic shock syndrome, acute respiratory distress syndrome, asthma, bone resorption diseases, reperfusion injury, graft vs. host reaction, allograft rejection malaria, myalgias, HIV, AIDS, cachexia, Crohn's disease, ulcerative colitis, pyresis, systemic lupus erythematosus, multiple sclerosis, type I diabetes mellitus, psoriasis, Behcet's disease, anaphylactoid purpura nephritis, chronic glomerulonephritis, inflammatory bowel disease, and leukemia. They are also used for treating a pathol. condition associated with a function of cAMP phosphodiesterase, eosinophil accumulation or function of the eosinophil, e.g., asthma, atopic dermatitis, urticaria, allergic rhinitis, psoriasis, rheumatic arthritis, ulcerative colitis, Crohn's disease, adult respiratory distress syndrome, diabetes insipidus, keratosis, dermatitis, cerebral senility, multiinfarct dementia, senile dementia, memory impairment associated with Parkinson's disease, cardiac arrest, stroke, and intermittent claudication. The present invention is also directed to their pharmaceutical use, pharmaceutical compns. containing the compds., and methods of their preparation Thus, 2-(3-cyclopentyloxy-4-methoxyphenyl)-5- hydroxymethyl-2-(4-pyridylmethyl)indan-1,3-dione was treated with NaH in THF, tosylated by tosyl chloride at 0° to room temperature for 2 h, and then condensed with 1-methylpiperazine in the K2CO3 in acetone at room temperature for 4 days the presence of K2CO3 in acetone to give the title compound, piperazinylmethylpyridylmethylindandione derivative (II).

IT 203440-50-0P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted aromatic compds. as inhibitors of tumor necrosis factor and cAMP phosphodiesterase)

RN 203440-50-0 CAPLUS
CN 1H-Indene-1,3(2H)-dione, 2-[3-methyl-1-[(tetrahydro-2H-pyran-2-yl)methyl]-1H-indol-6-yl]-5-(phenylmethoxy)-2-(4-pyridinylmethyl)- (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

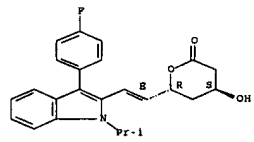
L9 ANSWER 21 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1996:98857 CAPLUS Full-text
DN 124:249531
TI Metabolic fate of fluvastatin, an inhibitor of HMG-CoA reductase (4): stereoselective pharmacokinetics of the enantiomers of fluvastatin in rats
AU Masuda, Naoki; Tanioka, Yuka; Akasaka, Izumi; Ohtawa, Masakatsu
CS Tsukuba Res. Inst., Sandoz Pharmaceuticals Ltd., Ibaraki, Japan

SO Yakubutsu Dotai (1995), 10(6), 779-98
CODEN: YADOEL; ISSN: 0916-1139
PB Nippon Yakubutsu Dotai Gakkai
DT Journal
LA Japanese
AB Pharmacokinetics of the two enantiomers [FV(+); 3R,5S-isomer, FV(-); 3S,5R-isomer] of Fluvastatin (FV) were investigated in rats after single administration of [14C]FV or 14C-labeled enantiomers. 1. After i.v. administration of [14C]FV (5 mg/kg), the total body clearance (CLtot) for FV(+) was about 2 times higher than that for FV(-). The volume of distribution at steady state (Vdss) for FV(-) was 2.5 times higher than that for FV(+). After oral administration (5 mg/kg), Cmax and tmax values were not different between enantiomers. The values of half-life (t1/2) and AUC for FV(-) were 2.apprx.5 times higher than those for FV(+). 2. Pharmacokinetics (PK) parameters (CLtot, Vdss etc.) of radioactivity after i.v. administration of [14C]FV(+) or [14C]FV(-) (2.5 mg/kg) were significantly different between enantiomers. The value of t1/2 for FV(-) was significantly longer than that for FV(+). 3. The absorption rates and the bioavailabilities of enantiomers did not differ. 4. The tissue distribution of radioactivity after i.v. administration of [14C]FV(+) or [14C]FV(-) was different from each other at 0.5 h and 24 h. 5. No stereoselectivity was observed in the serum protein binding. 6. No stereoselective biliary excretion in unchanged enantiomers was observed However, the biliary excretion rate of radioactivity after i.v. administration of [14C]FV(+) was faster than that of [14C]FV(-). 7. β-Oxidized metabolite, M-7, was detected in both plasma and bile only after administration of [14C]FV(+). Some unknown metabolites (UK1.apprx.UK4) were observed in the bile, and UK4 was only detected after administration of [14C]FV(+). From these results, the difference in the PK profiles of enantiomers after administration of FV seems to be caused by the change in the biliary excretion rates of metabolites following the stereoselective metabolism

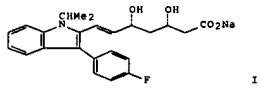
IT 93957-56-3
RL: BPR (Biological process); BSU (Biological study, unclassified); MFM (Metabolic formation); PRP (Properties); BIOL (Biological study); FORM (Formation, nonpreparative); PROC (Process)
(metabolic fate of fluvastatin, an inhibitor of HMG-CoA reductase (4): stereoselective pharmacokinetics of the enantiomers of fluvastatin in rats)

RN 93957-56-3 CAPLUS
CN 2H-Pyran-2-one, 6-[(1E)-2-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, (4R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



L9 ANSWER 22 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1993:616692 CAPLUS Full-text
DN 119:216692
TI Biotransformation of fluvastatin sodium in humans
AU Dain, Jeremy G.; Fu, Emil; Gorski, John; Nicoletti, Joseph; Scallen, Terence J.
CS Drug Metab. Dep., Sandoz Res. Inst., NJ, USA
SO Drug Metabolism and Disposition (1993), 21(4), 567-72
CODEN: DMDSAI; ISSN: 0090-9556
DT Journal
LA English
GI



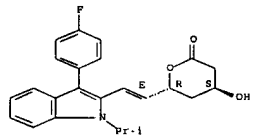
I

AB The metabolic pathways of fluvastatin sodium (Lescol, XU 62-320)(I-Na), a potent inhibitor of hydroxy-methylglutaryl-CoA reductase (HMG-CoA reductase), the rate-limiting enzyme in cholesterol biosynthesis, were determined in normal male volunteers at steady state. The metabolite profiles were determined in pooled human blood/plasma, urine, and feces obtained from healthy male volunteers after a single dose of 2 and 10 mg of [3H]I and at steady state after a single 40 mg daily dose of [3H]I for 6 sequential days utilizing HPLC coupled with radioactivity monitoring. The two major components in plasma were I and the desisopropylpropionic acid derivative of I, the latter a result of oxidative removal of the N-iso-Pr group and β-oxidation of the side chain. Minor amts. of the 4,5-pentenoic acid derivative of I, the threo-isomer of I, the trans-lactone of I, and conjugates of 5-hydroxy I and 6-hydroxy I were also present in plasma. Parent I was not present in feces, the major excretory route, or in urine. In urine, the desisopropylpropionic acid derivative and conjugates of 5-hydroxy I, and 6-hydroxy I were present, and each represented <1% of the dose. In feces 5-hydroxy-, 6-hydroxy-, and desisopropyl-I represented the only peaks of significance. The metabolism of I leading to the 5-hydroxy- and 6-hydroxy I was not stereospecific. The potency of 5-hydroxy- and 6-hydroxy I as inhibitors of HMG-CoA reductase was 88% and 45%, resp., that of I; relative to I, all other metabolites exhibited very low inhibitory activity toward HMG-CoA reductase. The pathways of metabolism of I in humans were: 1) hydroxylation at the 5- and 6-positions of the indole ring, 2) loss of the 1-iso-Pr group, 3) β-oxidation, 4) lactone formation, 5) formation of the threo-isomer, and 6) conjugation with either glucuronic acid or sulfate.

IT 93957-56-3
RL: FORM (Formation, nonpreparative)
(formation of, as fluvastatin metabolite, in humans)

RN 93957-56-3 CAPLUS
CN 2H-Pyran-2-one, 6-[(1E)-2-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, (4R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

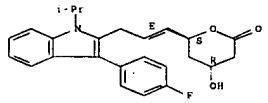


L9 ANSWER 23 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1992:400290 CAPLUS Full-text
DN 117:290
TI Pharmacophore identification by molecular modeling and chemometrics: the case of HMG-CoA reductase inhibitors
AU Cosentino, U.; Moro, G.; Pitea, D.; Scolastico, S.; Todeschini, R.; Scolastico, C.
CS Dip. Chim. Fis. Elettrochim., Univ. Milano, Milan, I-20133, Italy
SO Journal of Computer-Aided Molecular Design (1992), 6(1), 47-60
CODEN: JCADEQ; ISSN: 0920-654X
DT Journal
LA English
AB A methodol. based on mol. modeling and chemometrics is applied to identify the geometrical pharmacophore and the stereoelectronic requirements for the activity in a series of inhibitors of 3-hydroxy 3-methylglutaryl CoA (HMG-CoA) reductase, an enzyme involved in cholesterol biosynthesis. These inhibitors present two common structural features-a 3,5-dihydroxy heptanoic acid which mimics the active portion of the natural substrate HMG-CoA and a lipophilic region which carries both polar and bulky groups. A total of 432 min. energy conformations of 11 homologous compds. showing different levels of biol. activity are calculated by the mol. mechanics MM2 method. Five atoms are selected as representatives of the relevant fragments of these compds. and three interat. distances, selected among 10 by means of a Principal Component Anal. (PCA), are used to describe the three-dimensional disposition of these atoms. A cluster anal. procedure, performed on the whole set of conformations described by these three distances, allows the selection of one cluster whose centroid represents a geometrical model for the HMG-CoA reductase pharmacophore and the conformations included are candidates as binding conformations. To obtain a refinement of the geometrical model and to have a better insight into the requirements for the activity of these inhibitors, the Mol. electrostatic Potential (MEP) distributions are determined by the MNDO semiempirical method.

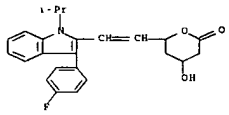
IT 141734-16-9
RL: PROC (Process)
(as hydroxymethylglutaryl CoA reductase inhibitor, pharmacophore identification of)

RN 141734-16-9 CAPLUS
CN 2H-Pyran-2-one, 6-[3-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-1-propenyl]tetrahydro-4-hydroxy-, [4R-[4α,6β(E)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L9 ANSWER 24 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1992:210088 CAPLUS Full-text
DN 116:210088
TI Similarity of molecular electrostatic potential distributions in a series of HMG-CoA reductase inhibitors. Preliminary results
AU Cosentino, U.; Moro, G.; Pitea, D.
CS Dip. Chim. Fis. Elettrochim., Univ. Stud. Milan, Milan, 20133, Italy
SO Journal de Chimie Physique et de Physico-Chimie Biologique (1991), 88(11-12), 2639-44
CODEN: JCPBAN; ISSN: 0021-7689
DT Journal
LA English
AB The main features of the mol. electrostatic potential (MEP) in a series of hydroxymethylglutaryl-CoA (HMG-CoA) reductase inhibitors were investigated in a selected plane. Moreover, similarities between the 3-dimensional MEP distributions were calculated The obtained results led to a refinement of the previously reported geometric model for the activity of this class of compds.
IT 141109-99-1
RL: PRP (Properties)
(mol. electrostatic potential of, as hydroxymethylglutaryl-CoA reductase inhibitor)
RN 141109-99-1 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy- (CA INDEX NAME)



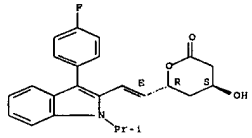
L9 ANSWER 25 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1991:186288 CAPLUS Full-text
DN 114:186288
TI Optically active (meth)acrylamide derivative preparation, polymerization, and use in chromatographic resolution
IN Lange, Walter; Boemer, Bruno; Grosser, Rolf; Arlt, Dieter
PA Bayer A.-G., Germany
SO Eur. Pat. Appl., 27 pp.

CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 379917	A2	19900801	EP 1990-100703	19900113
	EP 379917	A3	19920226		
	EP 379917	B1	19950809		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL				
	ES 2077591	T3	19951201	ES 1990-100703	19900113
	JP 02264752	A	19901029	JP 1990-11972	19900123
	JP 2812765	B2	19981022		
	US 5274167	A	19931228	US 1992-835169	19920213
PRAI	DE 1989-3902287	A	19890126		
	JP 1989-11972	A	19890126		
	US 1990-467111	A2	19900118		

OS MARPAT 114:186288
AB The optically active amides H2C:C(R)CON(R3)C(R1)HCOXR2 [R = H, Me; R1 = alkyl, cycloalkyl, arylalkyl, aryl, heteroaryl; R3 = H, R1, trimethylene, tetramethylene; R2 = bulky hydrocarbyl, tertiary alkyl, cycloalkyl, aryl, heteroaryl, terpenyl, adamantyl; X = O, imino] are prepared, polymerized, and used as column packings in chromatog. determination and resolution of racemic mixts. Thus, D-alanine 1-menthyl ester hydrochloride was condensed with acryloyl chloride to give an amide ([α]D -67.0°), 13.5 g of which was polymerized with 1.50 g ethylene dimethacrylate in the presence of AIBN to give a copolymer which was used in the resolution of 3-(4-chlorophenylsulfonamido)-9-(2-carboxylethyl)-1,2,3,4-tetrahydrocarbazole.
IT 93957-56-3
RL: PROC (Process)
(resolution of, optically active acrylamide polymers for)
RN 93957-56-3 CAPLUS
CN 2H-Pyran-2-one, 6-[(1E)-2-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, (4R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

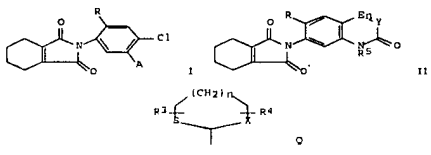


L9 ANSWER 26 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1991:77037 CAPLUS Full-text
DN 114:77037
TI Preparation of N-phenyl-3,4,5,6-tetrahydrophthalimide derivatives as plant desiccants and abscission agents
IN Grossmann, Klaus; Mulder, Christiaan E. G.; Wuerzer, Bruno
PA BASF A.-G., Germany

SO Ger. Offen., 34 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

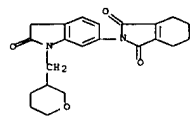
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3905916	A1	19900830	DE 1989-3905916	19890225
	IL 93438	A	19940731	IL 1990-93438	19900219
	EP 385231	A1	19900905	EP 1990-103204	19900220
	EP 385231	B1	19960918		
	R: BE, CH, DE, ES, FR, GB, GR, IT, LI, NL				
	US 5045105	A	19910903	US 1990-481262	19900220
	ES 2092476	T3	19961201	ES 1990-103204	19900220
	BR 9000838	A	19910205	BR 1990-838	19900221
	CA 2010827	A1	19900825	CA 1990-2010827	19900223
	CA 2010827	C	20000425		
	AU 9050113	A	19900830	AU 1990-50113	19900223
	AU 620968	B2	19920227		
	ZA 9001383	A	19911030	ZA 1990-1383	19900223
	US 37664	E1	20020416	US 1996-618334	19960319
PRAI	DE 1989-3905916	A	19890225		
	US 1990-481262	A5	19900220		
	US 1993-115595	B1	19930903		
	US 1994-294789	B1	19940808		

OS CASREACT 114:77037; MARPAT 114:77037
GI

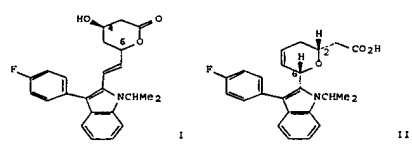


AB The title compds. I and II (R = H, F, Cl; A = H, cyanoalkyl, CH:CR1CO2R2, or Q; R1 = H, Cl, Br, CN, alkyl; R2 = H, alkyl, alkenyl, alkynyl, etc.; R3 = H, alkyl, hydroxyalkyl, haloalkyl, etc.; R4 = H, alkyl, hydroxyalkyl, haloalkyl, etc.; R5 = H, alkyl, alkenyl, alkynyl, Bz, tetrahydrofurfuryl, etc.; X = O, S; Y = X, CHR4; Z = X, NR6; R6 = alkyl, alkenyl, alkynyl, alkoxyalkyl; E = O, CH2; n = 0, 1) are prepared as desiccants and defoliants. The reaction of 4-chloro-3-(1,3-dithiolan-2-yl)aniline (preparation given) with cyclohexene-1,2-dicarboxylic acid anhydride in AcOH gave I (R = H, A = 1,3-dithiolan-2-yl). In greenhouse expts., I (R = H, A = CH:CBrCO2Me) totally defoliated cotton.
IT 138058-15-2P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of, as plant defoliants and desiccants)
RN 138058-15-2 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[2,3-dihydro-2-oxo-1-[(tetrahydro-2H-pyran-3-yl)methyl]-1H-indol-6-yl]-4,5,6,7-tetrahydro- (CA INDEX NAME)



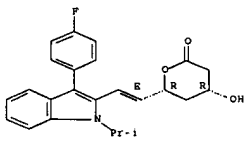
L9 ANSWER 27 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1987:534157 CAPLUS Full-text
DN 107:134157
TI Synthesis and characterization of a novel 6-heteroaryl-3,6-dihydro-2H-pyran-2-acetic acid
AU Stokker, Gerald E.; Pitzenberger, Steven M.
CS Merck Sharp and Dohme Res. Lab., West Point, PA, 19486, USA
SO Heterocycles (1987), 26(1), 157-62
CODEN: HTCYAM; ISSN: 0385-5414
DT Journal
LA English
OS CASREACT 107:134157
GI



AB The treatment of (indolylvinyl)pyranone derivative I with 4-MeC6H4SO3H in PhMe gave pyranacetic acid derivative II.
IT 93957-57-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 93957-57-4 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6α(E)]- (9CI) (CA INDEX NAME)

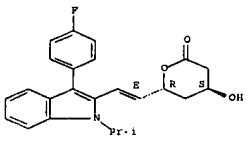
Relative stereochemistry.

Double bond geometry as shown.



IT 93957-56-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(rearrangement of, pyranacetic acid derivative from)
RN 93957-56-3 CAPLUS
CN 2H-Pyran-2-one, 6-[(1E)-2-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, (4R,6S)-rel- (CA INDEX NAME)

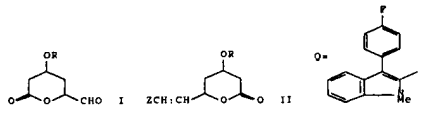
Relative stereochemistry.
Double bond geometry as shown.



L9 ANSWER 28 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1987:138255 CAPLUS Full-text
DN 106:138255
TI 4-Trisubstituted silyloxy-6-oxo-tetrahydropyran-2-yl-aldehyde intermediates
IN Jewell, Charles F., Jr.; Wareing, James R.
PA Sandoz Pharmaceuticals Corp., USA
SO U.S., 10 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

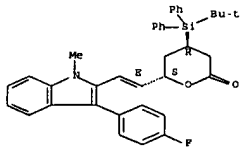
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4625039	A	19861125	US 1983-563945	19831221
PRAI	US 1983-563945		19831221		

OS CASREACT 106:138255; MARPAT 106:138255
GI



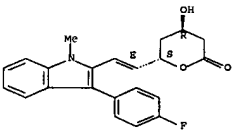
AB The title compds. I (R = trisubstituted silyl), useful as intermediates for antiatherosclerotics II [R = H; Z = (substituted) 2-indolyl] (no data), were prepared Thus, treatment of 280.2 mg [1-methyl-3-(4- fluorophenyl)indol-2-yl]methyltriphenylphosphonium chloride in 10 mL THF with 337.6 μL (1.55 M) BuLi/C6H14 followed by addition of 7 mL of the Wittig reagent solution to 110.3 mg I (R = Me3CSiPh2) [prepared in 11 steps from 3β,4α-dihydroxy-2α-(hydroxymethyl)-2,3-dihydro-2H- pyranyl triacetate] in THF to give (E)-trans-(4R,6S)-II (R = Me3CSiPh2; Z = Q) which was deprotected to give (E)-trans-(4R,6S)-II (R = H, Z = Q).
IT 107369-95-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and desilylation of)
RN 107369-95-9 CAPLUS
CN 2H-Pyran-2-one, 4-[(1,1-dimethylethyl)diphenylsilyl]-6-[2-[3-(4-fluorophenyl)-1-methyl-1H-indol-2-yl]ethenyl]tetrahydro-, [4R-[4α,6β(E)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT 93957-47-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiarteriosclerotic, protected tetrahydropyranyl intermediates for)
RN 93957-47-2 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(4-fluorophenyl)-1-methyl-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4R-[4α,6β(E)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

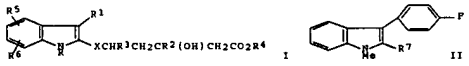


L9 ANSWER 29 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1985:24475 CAPLUS Full-text
DN 102:24475
OREF 102:4035a,4038a
TI Analogs of mevalolactone and derivatives thereof and their use as pharmaceuticals
IN Kathawala, Faizulla Gulamhusein
PA Sandoz A.-G., Switz.
SO PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 8402131	A1	19840607	WO 1983-EP308	19831118
	W: AU, DK, FI, HU, JP				
	AU 8322612	A	19840618	AU 1983-22612	19831118
	AU 570021	B2	19880303		
	JP 60500015	T	19850110	JP 1983-503754	19831118
	JP 02046031	B	19901012		
	HU 35642	A2	19850729	HU 1984-284	19831118
	HU 204253	B	19911230		
	ES 527428	A1	19850801	ES 1983-527428	19831121
	IL 70286	A	19870831	IL 1983-70286	19831121
	EP 114027	A1	19840725	EP 1983-810548	19831122
	EP 114027	B1	19880107		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	ZA 8308718	A	19850828	ZA 1983-8718	19831122
	CA 1210405	A1	19860826	CA 1983-441684	19831122
	AT 31718	T	19880115	AT 1983-810548	19831122
	FI 8402615	A	19840628	FI 1984-2615	19840628
	FI 77228	B	19881031		
	FI 77228	C	19890210		
	DK 8403592	A	19840720	DK 1984-3592	19840720
	US 4739073	A	19880419	US 1985-707854	19850304
	DK 9000978	A	19900419	DK 1990-978	19900419
	DK 165244	B	19921026		
	DK 165244	C	19930322		
	JP 03047167	A	19910228	JP 1990-120164	19900511
	JP 04040343	B	19920702		
	US 5354772	A	19941011	US 1993-157595	19931124
PRAI	US 1982-443668	A	19821122		
	US 1983-548850	A	19831104		

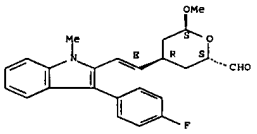
	WO 1983-EP308	A	19831118
	EP 1983-810548	A	19831122
	US 1985-707854	A2	19850304
	US 1985-722288	B1	19850411

OS MARPAT 102:24475
GI



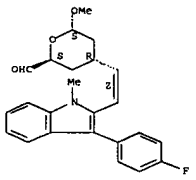
AB Antiatherosclerotic (no data) indoles I [R, R1 = Ph, substituted Ph, alkyl, cycloalkyl, aralkyl; R2 = H, alkyl; R3 = OH, R4 = H; R3R4 = bond; R5, R6 = H, alkyl, cycloalkyl, alkoxy, CF3, F, Cl, PhO, PhCH2O; X = (CH2)0-3, CH:CH] were prepared Thus, II (R7 = CO2Et) was reduced to the alc. and reoxidized to the aldehyde which was treated with Bu3SnCH:CHOEt to give II (R7 = E-CH:CHCHO). The latter compound was treated with MeCOCH2CO2Me to give II [R7 = E-CH:CHCH(OH)CH2COCH2CO2Me] was was reduced to diol, followed by ester hydrolysis, to give II [R7 = E-CH:CHCH(OH)CH2CH(OH)CH2CO2H]. Lactonization of this acid gave I [X = E-CH:CH, R = Me; R2 = R5 = R6 = H, R1 = 4-FC6H4, R3R4 = bond].
IT 93957-62-1P 94061-82-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and demethylation of)
RN 93957-62-1 CAPLUS
CN 2H-Pyran-2-carboxaldehyde, 4-[2-[3-(4-fluorophenyl)-1-methyl-1H-indol-2-yl]ethenyl]tetrahydro-6-methoxy-, [2S-[2α,4β(E),6β]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



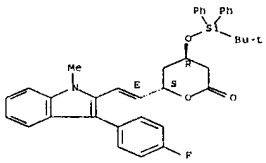
RN 94061-82-2 CAPLUS
CN 2H-Pyran-2-carboxaldehyde, 4-[2-[3-(4-fluorophenyl)-1-methyl-1H-indol-2-yl]ethenyl]tetrahydro-6-methoxy-, [2S-[2α,4β(Z),6β]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



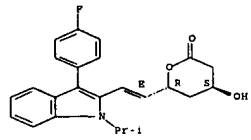
IT 93957-64-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and desilylation of)
RN 93957-64-3 CAPLUS
CN 2H-Pyran-2-one, 4-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-6-[2-[3-(4-fluorophenyl)-1-methyl-1H-indol-2-yl]ethenyl]tetrahydro-, [4R-[4α,6β(E)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



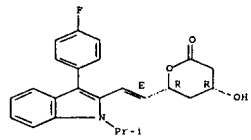
IT 93957-56-3P 93957-57-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and resolution of)
RN 93957-56-3 CAPLUS
CN 2H-Pyran-2-one, 6-[(1E)-2-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, (4R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



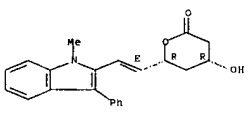
RN 93957-57-4 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6α(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



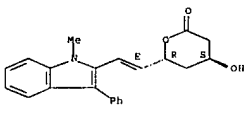
IT 93936-88-0P 93936-89-1P 93936-90-4P
93936-91-5P 93936-92-6P 93936-93-7P
93936-94-8P 93936-95-9P 93936-96-0P
93936-97-1P 93936-98-2P 93936-99-3P
93937-00-9P 93937-01-0P 93937-02-1P
93937-03-2P 93937-04-3P 93937-05-4P
93937-06-5P 93937-07-6P 93937-08-7P
93937-09-8P 93937-10-1P 93937-11-2P
93937-12-3P 93937-13-4P 93937-14-5P
93937-15-6P 93937-16-7P 93937-17-8P
93937-18-9P 93937-19-0P 93937-20-3P
93937-21-4P 93937-43-6P 93937-45-8P
93957-47-2P 93957-48-3P 93957-63-8P
93957-65-0P 93957-80-3P 93957-81-4P
93957-82-5P 93957-83-6P 94020-66-3P
94061-78-6P 94061-79-7P 94061-83-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 93936-88-0 CAPLUS
CN 2H-Pyran-2-one, tetrahydro-4-hydroxy-6-[2-(1-methyl-3-phenyl-1H-indol-2-yl)ethenyl]-, [4α,6α(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



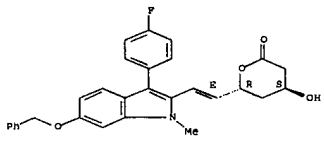
RN 93936-89-1 CAPLUS
CN 2H-Pyran-2-one, tetrahydro-4-hydroxy-6-[2-(1-methyl-3-phenyl-1H-indol-2-yl)ethenyl]-, [4α,6β(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



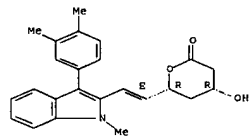
RN 93936-90-4 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(4-fluorophenyl)-1-methyl-6-(phenylmethoxy)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6β(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



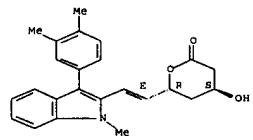
RN 93936-91-5 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(3,4-dimethylphenyl)-1-methyl-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6α(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



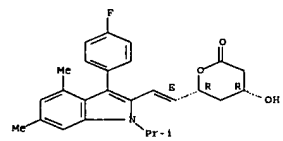
RN 93936-92-6 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(3,4-dimethylphenyl)-1-methyl-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6β(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



RN 93936-93-7 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(4-fluorophenyl)-4,6-dimethyl-1-(1-methylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6α(E)]- (9CI) (CA INDEX NAME)

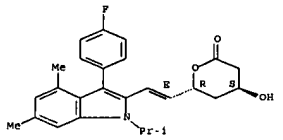
Relative stereochemistry.
Double bond geometry as shown.



RN 93936-94-8 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(4-fluorophenyl)-4,6-dimethyl-1-(1-methylethyl)-1H-

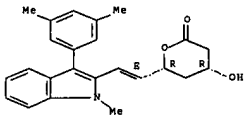
indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6β(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



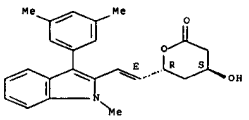
RN 93936-95-9 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(3,5-dimethylphenyl)-1-methyl-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6α(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



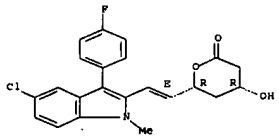
RN 93936-96-0 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(3,5-dimethylphenyl)-1-methyl-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6β(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



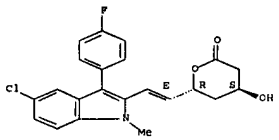
RN 93936-97-1 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[5-chloro-3-(4-fluorophenyl)-1-methyl-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6α(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



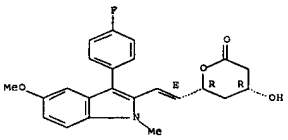
RN 93936-98-2 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[5-chloro-3-(4-fluorophenyl)-1-methyl-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6β(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



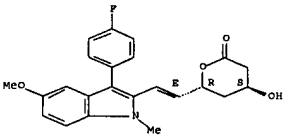
RN 93936-99-3 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(4-fluorophenyl)-5-methoxy-1-methyl-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6α(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



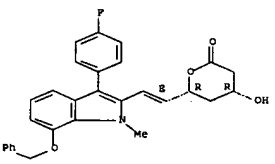
RN 93937-00-9 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(4-fluorophenyl)-5-methoxy-1-methyl-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6β(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



RN 93937-01-0 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(4-fluorophenyl)-1-methyl-7-(phenylmethoxy)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6α(E)]- (9CI) (CA INDEX NAME)

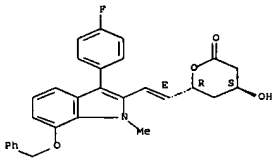
Relative stereochemistry.
Double bond geometry as shown.



RN 93937-02-1 CAPLUS

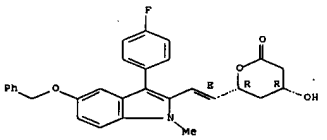
CN 2H-Pyran-2-one, 6-[2-[3-(4-fluorophenyl)-1-methyl-7-(phenylmethoxy)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6β(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



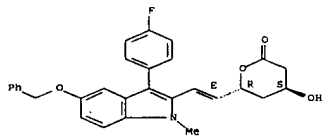
RN 93937-03-2 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(4-fluorophenyl)-1-methyl-5-(phenylmethoxy)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6α(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



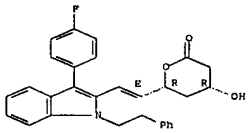
RN 93937-04-3 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(4-fluorophenyl)-1-methyl-5-(phenylmethoxy)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6β(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



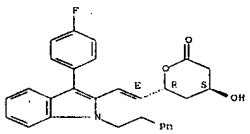
RN 93937-05-4 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(4-fluorophenyl)-1-(2-phenylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6α(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



RN 93937-06-5 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(4-fluorophenyl)-1-(2-phenylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6β(E)]- (9CI) (CA INDEX NAME)

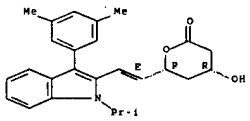
Relative stereochemistry.
Double bond geometry as shown.



RN 93937-07-6 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(3,5-dimethylphenyl)-1-(1-methylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6α(E)]- (9CI) (CA INDEX

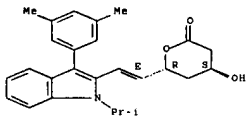
NAME)

Relative stereochemistry.
Double bond geometry as shown.



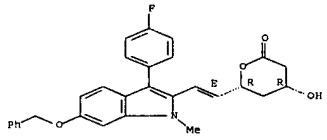
RN 93937-08-7 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(3,5-dimethylphenyl)-1-(1-methylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6β(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



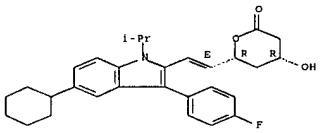
RN 93937-09-8 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(4-fluorophenyl)-1-methyl-6-(phenylmethoxy)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6α(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



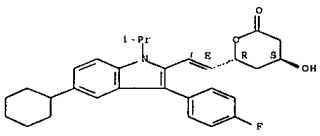
RN 93937-10-1 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[5-cyclohexyl-3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6α(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



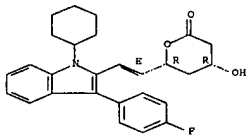
RN 93937-11-2 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[5-cyclohexyl-3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6β(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



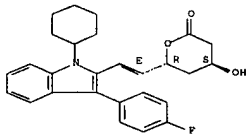
RN 93937-12-3 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[1-cyclohexyl-3-(4-fluorophenyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6α(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



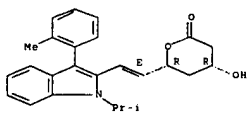
RN 93937-13-4 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[1-cyclohexyl-3-(4-fluorophenyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6β(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



RN 93937-14-5 CAPLUS
CN 2H-Pyran-2-one, tetrahydro-4-hydroxy-6-[2-[1-(1-methylethyl)-3-(2-methylphenyl)-1H-indol-2-yl]ethenyl]-, [4α,6α(E)]- (9CI) (CA INDEX NAME)

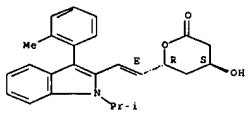
Relative stereochemistry.
Double bond geometry as shown.



RN 93937-15-6 CAPLUS
CN 2H-Pyran-2-one, tetrahydro-4-hydroxy-6-[2-[1-(1-methylethyl)-3-(2-

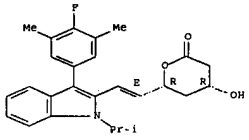
methylphenyl)-1H-indol-2-yl]ethenyl}-, [4α,6β(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



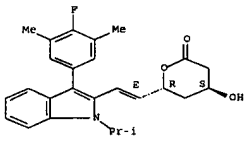
RN 93937-16-7 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(4-fluoro-3,5-dimethylphenyl)-1-(1-methylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6α(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



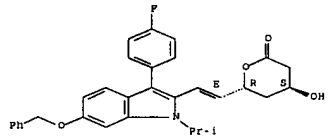
RN 93937-17-8 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(4-fluoro-3,5-dimethylphenyl)-1-(1-methylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6β(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



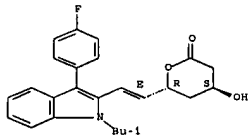
RN 93937-18-9 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(4-fluorophenyl)-1-(1-methylethyl)-6-(phenylmethoxy)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6β(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



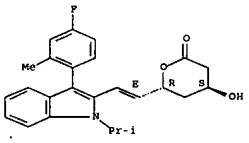
RN 93937-19-0 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(4-fluorophenyl)-1-(2-methylpropyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6β(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



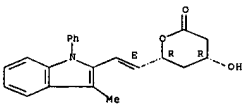
RN 93937-20-3 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(4-fluoro-2-methylphenyl)-1-(1-methylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6β(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



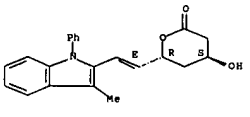
RN 93937-22-5 CAPLUS
CN 2H-Pyran-2-one, tetrahydro-4-hydroxy-6-[2-(3-methyl-1-phenyl-1H-indol-2-yl)ethenyl]-, [4α,6α(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



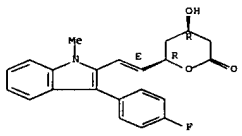
RN 93937-23-6 CAPLUS
CN 2H-Pyran-2-one, tetrahydro-4-hydroxy-6-[2-(3-methyl-1-phenyl-1H-indol-2-yl)ethenyl]-, [4α,6β(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



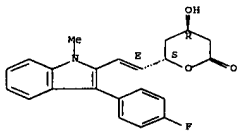
RN 93937-45-2 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(4-fluorophenyl)-1-methyl-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4R-[4α,6α(E)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



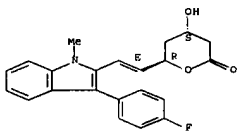
RN 93957-47-2 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(4-fluorophenyl)-1-methyl-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4R-[4α,6β(E)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

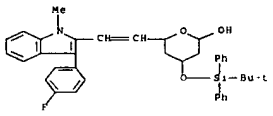


RN 93957-48-3 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(4-fluorophenyl)-1-methyl-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4S-[4α,6β(E)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

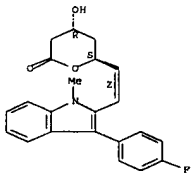


RN 93957-63-2 CAPLUS
CN 2H-Pyran-2-ol, 4-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-6-[2-[3-(4-fluorophenyl)-1-methyl-1H-indol-2-yl]ethenyl]tetrahydro- (CA INDEX NAME)



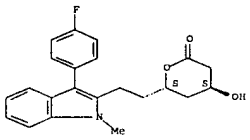
RN 93957-65-4 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(4-fluorophenyl)-1-methyl-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4R-[4α,6β(Z)]] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 93957-80-3 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(4-fluorophenyl)-1-methyl-1H-indol-2-yl]ethyl]tetrahydro-4-hydroxy-, trans- (9CI) (CA INDEX NAME)

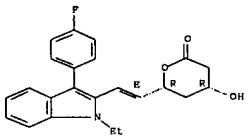
Relative stereochemistry.



RN 93957-81-4 CAPLUS

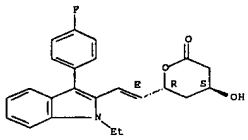
CN 2H-Pyran-2-one, 6-[2-[1-ethyl-3-(4-fluorophenyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6α(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



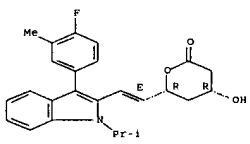
RN 93957-82-5 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[1-ethyl-3-(4-fluorophenyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6β(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



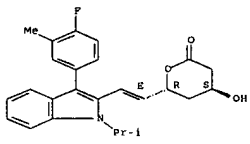
RN 93957-83-6 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(4-fluoro-3-methylphenyl)-1-(1-methylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6α(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



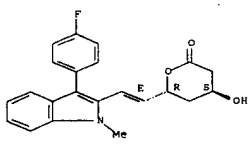
RN 94020-66-3 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(4-fluoro-3-methylphenyl)-1-(1-methylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6β(E)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



RN 94061-78-6 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(4-fluorophenyl)-1-methyl-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6β(E)]- (9CI) (CA INDEX NAME)

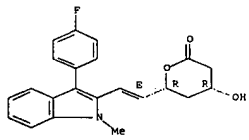
Relative stereochemistry.
Double bond geometry as shown.



RN 94061-79-7 CAPLUS
CN 2H-Pyran-2-one, 6-[2-[3-(4-fluorophenyl)-1-methyl-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, [4α,6α(E)]- (9CI) (CA INDEX

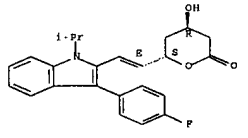
NAME)

Relative stereochemistry.
Double bond geometry as shown.

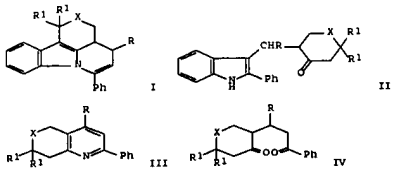


RN 94061-83-3 CAPLUS
CN 2H-Pyran-2-one, 6-[(1E)-2-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]ethenyl]tetrahydro-4-hydroxy-, (4R,6S)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L9 ANSWER 30 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1976:543008 CAPLUS Full-text
DN 85:143008
OREF 85:22921a,22924a
TI Reactions of 1,5-diketones. XX. Semicyclic 1,5-diketones in the Fischer reaction
AU Moskovkina, T. V.; Tilichenko, M. N.
CS Dal'nevostoch. Gos. Univ., Vladivostok, USSR
SO Khimiya Geterotsiklicheskikh Soedinenii (1976), (5), 645-50
CODEN: KGSSAQ; ISSN: 0132-6244
DT Journal
LA Russian
OS CASREACT 85:143008
GI



I II

III IV

AB I, II, III, (R = Ph, p-MeOC6H4, R1 = H, X = CH2; R = Ph, R1 = Me, X = O) were obtained in 6-35%, 5-60%, and 8-19%, resp., in the Fischer reaction of IV with PhNHNH2.

IT 60515-51-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 60515-51-7 CAPLUS

CN 4H-Pyran-4-one, tetrahydro-2,2-dimethyl-5-[phenyl(2-phenyl-1H-indol-3-yl)methyl]- (CA INDEX NAME)